

Russel 09/904,756

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FILE 'HCAPLUS' ENTERED AT 14:08:07 ON 24 FEB 2003)
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FILE 'REGISTRY' ENTERED AT 14:08:24 ON 24 FEB 2003
ACT RUSSEL/A

L1 STR
L2 65 SEA FILE=REGISTRY SSS FUL L1

FILE 'HCAPLUS' ENTERED AT 14:08:28 ON 24 FEB 2003
L3 32 S L2
L4 201243 S ANTIBIOT? OR ANTIMICRO? OR ANTIBACTER? OR BACTERICID? OR BACT
L5 2163 S LASPARTOMYCIN OR ASPARTOCIN OR LIPOPEPTIDE? OR A 21978C OR CY
L6 8 S L3 AND (L4 OR L5)
L7 24 S L3 NOT L6
L8 13 S L3 AND 63/SX,SC
L9 18 S L8 OR L6
L10 14 S L3 NOT L9

FILE 'REGISTRY' ENTERED AT 14:11:46 ON 24 FEB 2003

FILE 'HCAPLUS' ENTERED AT 14:11:51 ON 24 FEB 2003

FILE 'HCAOLD' ENTERED AT 14:13:12 ON 24 FEB 2003
L11 1 S L2

FILE 'HCAOLD' ENTERED AT 14:13:27 ON 24 FEB 2003

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FILE 'REGISTRY' ENTERED AT 14:11:46 ON 24 FEB 2003
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 23 FEB 2003 HIGHEST RN 494189-41-2
DICTIONARY FILE UPDATES: 23 FEB 2003 HIGHEST RN 494189-41-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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L1          STR
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              O
              ||
Ak  SO2  NH  C  C  N
 1   2   3   4  5  6
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NODE ATTRIBUTES:

CONNECT IS E1 RC AT 1
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M6-X20 C AT 1

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

L2 65 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 58143 ITERATIONS
SEARCH TIME: 00.00.02

65 ANSWERS

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:11:51 ON 24 FEB 2003
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FILE COVERS 1907 - 24 Feb 2003 VOL 138 ISS 9
FILE LAST UPDATED: 23 Feb 2003 (20030223/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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(FILE 'REGISTRY' ENTERED AT 14:08:24 ON 24 FEB 2003)

FILE 'HCAPLUS' ENTERED AT 14:08:28 ON 24 FEB 2003

L3 32 S L2
L4 201243 S ANTIBIOT? OR ANTIMICRO? OR ANTIBACTER? OR BACTERICID? OR BACT
L5 2163 S LASPARTOMYCIN OR ASPARTOCIN OR LIPOPEPTIDE? OR A 21978C OR CY
L6 8 S L3 AND (L4 OR L5)
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L9 18 S L8 OR L6
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FILE 'REGISTRY' ENTERED AT 14:11:46 ON 24 FEB 2003

FILE 'HCAPLUS' ENTERED AT 14:11:51 ON 24 FEB 2003

=> d que nos 19

L1 STR
L2 65 SEA FILE=REGISTRY SSS FUL L1
L3 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L2
L4 201243 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIBIOT?/OBI OR ANTIMICRO?/OB
I OR ANTIBACTER?/OBI OR BACTERICID?/OBI OR BACTERIOSTAT?/OBI
L5 2163 SEA FILE=HCAPLUS ABB=ON PLU=ON LASPARTOMYCIN/OBI OR ASPARTOCI
N/OBI OR LIPOPEPTIDE?/OBI OR A 21978C/OBI OR CYCLOPEPTID?/OBI
L6 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND (L4 OR L5)
L8 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND 63/SX,SC
L9 18 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR L6

=> d 19 .ca hitstr 1-18;d .ca 110 1-14

L9 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:521684 HCAPLUS
DOCUMENT NUMBER: 137:88483
TITLE: Hydrophobic polyamine analogs and methods for their
use
INVENTOR(S): Burns, Mark Robert; Graminski, Gerard F.; Banduir,

PATENT ASSIGNEE(S): Nand
 SOURCE: Oridigm Corporation, USA
 PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053519	A2	20020711	WO 2002-US347	20020108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-260415P P 20010108

OTHER SOURCE(S): MARPAT 137:88483

AB The invention provides polyamine analogs and derivs. contg. a hydrophobic region and a polyamine region, as well as methods and compns. for their use. The compds. of the invention can be used e.g. to treat cancer osteoporosis, asthma, etc.

IC ICM C07C

CC 1-12 (Pharmacology)

Section cross-reference(s): 63

IT Alzheimer's disease

Anti-Alzheimer's agents

Anti-inflammatory agents

Antiarthritics

Antiasthmatics

Antibacterial agents

Anticonvulsants

Antidiabetic agents

Antiglaucoma agents

Antihypertensives

Antitumor agents

Antiulcer agents

Antiviral agents

Anxiety

Anxiolytics

Asthma

Autoimmune disease

Cardiovascular agents

Cosmetics

Drug delivery systems

Drug dependence

Drug interactions

Epilepsy

Fungicides

Glaucoma (disease)

Human

Hyperparathyroidism

Hypertension
Inflammation
Neoplasm
Nervous system agents
Osteoporosis
Parasitocides
Psoriasis
Rheumatoid arthritis
Structure-activity relationship
Transplant and Transplantation

(hydrophobic polyamine analogs and use)

IT 56-84-8D, L-Aspartic acid, derivs. 56-86-0D, L-Glutamic acid, derivs.
56-87-1D, L-Lysine, derivs. 70-26-8D, Ornithine, derivs. 71-44-3D,
Spermine, derivs. 110-60-1D, Putrescine, derivs. 124-20-9D,
Spermidine, derivs. 305-62-4D, 2,4-Diaminobutyric acid, derivs.
70052-12-9, .alpha.-Difluoromethylornithine 134951-06-7 330162-58-8
330162-75-9 330162-76-0 330163-03-6 441022-65-7 441022-68-0
441022-71-5 441022-72-6 441022-73-7 441022-74-8 441022-75-9
441022-76-0 441022-78-2 441022-80-6 441022-81-7 441022-82-8
441022-83-9 441022-84-0 441022-85-1 441022-86-2 441022-87-3
441022-88-4 441022-89-5 441022-90-8 441022-91-9 441022-92-0
441022-93-1 441022-94-2 441022-95-3 441022-96-4 441022-98-6
441023-00-3 441023-02-5 441023-04-7 441023-06-9 441023-08-1
441023-10-5 **441023-12-7** 441023-13-8 441023-15-0
441023-17-2 441023-19-4 441023-21-8 441023-22-9 441023-23-0
441023-24-1 441023-25-2 441023-26-3 441023-27-4 441023-28-5
441023-59-2 441023-60-5 441023-61-6 441023-62-7 441023-63-8
441023-64-9 441023-65-0 441023-66-1 441023-67-2 441023-68-3
441023-69-4 441023-70-7 441023-71-8 441023-72-9 441023-73-0
441023-74-1 441023-75-2 441023-76-3 441023-77-4 441023-78-5
441023-79-6 441764-81-4 441764-82-5 441764-83-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(hydrophobic polyamine analogs and use)

IT **441023-12-7**

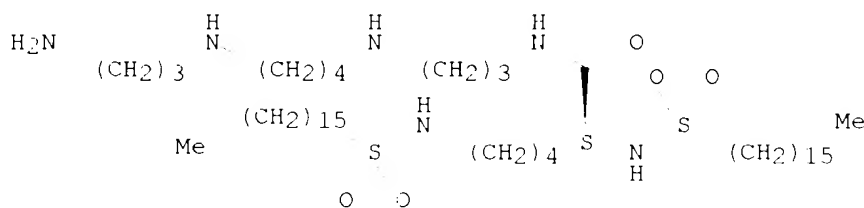
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(hydrophobic polyamine analogs and use)

RN 441023-12-7 HCAPLUS

CN Hexanamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2,6-
bis[(hexadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



LP ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:221203 HCAPLUS
DOCUMENT NUMBER: 136:247894
TITLE: Preparation of antimicrobial

INVENTOR(S): **laspartomycin** derivatives
 Borders, Donald B.; Curran, William V.; Fantini,
 Amedeo A.; Francis, Noreen D.; Jarolmen, Howard;
 Reese, Richard A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S.
 Ser. No. 760,328.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002035063	A1	20020321	US 2001-904352	20010713
US 6511962	B1	20030128	US 2001-760328	20010112
PRIORITY APPLN. INFO.:			US 2000-219059P	P 20000717
			US 2000-220950P	P 20000726
			US 2001-760328	A2 20010112

OTHER SOURCE(S): MARPAT 136:247893

AB The invention provides methods for prepg. laspartomycin core peptides and for treating and/or preventing microbial infections in a subject. Thus, Me(CH₂)₁₃CO-L-Phe-L-Asp-R (R is the core cyclic peptide of laspartomycin) was prepd. and showed MIC = 16 .mu.g/mL using Staphylococcus aureus strain Smith as the assay organism.

IC ICM A61K038-12
 ICS C12P021-02

NCL 514009000

CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 9

ST **antibiotic laspartomycin** deriv

IT Streptomyces viridochromogenes
 (komabensis; prepn. of **antimicrobial laspartomycin** derivs.)

IT Actinoplanes utahensis

Antibiotics

Fermentation

(prepn. of **antimicrobial laspartomycin** derivs.)

IT 62168-75-6P, Deacylase

RL: BPN (Biosynthetic preparation); CAT (Catalyst use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-28-9P

RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392699-69-3P

RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); ECT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of **antimicrobial laspartomycin** derivs.)

IT 392656-33-6P

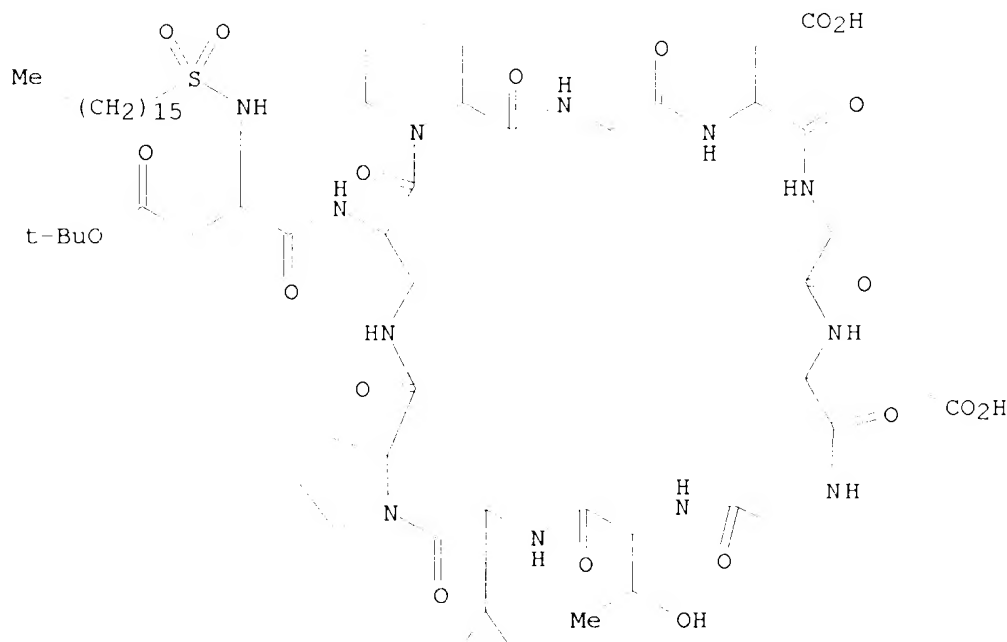
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); ECT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of **antimicrobial laspartomycin** derivs.)

- IT 392656-55-2P 392656-56-3P 392656-59-6P 392656-63-2P 392656-64-3P
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 392656-53-0P
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 392656-35-8P 392656-37-0P 392656-39-2P 392656-40-5P 392656-46-1P
 392656-51-8P 392656-54-1P 392656-58-5P 392656-60-9P 392711-94-3P
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 392656-43-8P 392656-45-0P **392656-49-4P** 392656-52-9P
 392656-57-4P 392711-93-2P
 RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 392656-34-7P **392656-36-9P** 392656-38-1P 392656-41-6P
 392656-42-7P 392656-44-9P **392656-50-7P**
 RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 392656-48-3 392656-61-0 392656-62-1 392656-65-4 392656-66-5
 392711-92-1 392712-22-0
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 219901-76-5 392335-64-7 392335-65-8 392335-66-9 392335-67-0
 392335-68-1
 RL: PRP (Properties)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 392335-49-8P, Pentadecanoyl-L-aspartic acid 4-O-benzyl ester
 392335-50-1P 392656-30-3P
 RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 392656-31-4P 392656-32-5P
 RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of **antimicrobial laspartomycin** derivs.)
- IT 1002-84-2, Pentadecanoic acid 1943-84-6, Hexadecylisocyanate
 2177-63-1, L-Aspartic acid 4-O-benzyl ester 2312-15-4,
 p-Dodecyloxybenzoic acid 2592-95-2, 1-Hydroxybenzotriazole 3224-48-4,
 Tetradecylisothiocyanate 4202-38-4 5519-23-3, p-Decyloxybenzoic acid
 24460-74-0, Dodecyl chloroformate 58725-40-9, p-Dodecanamidobenzoic acid
 131803-81-1, Decanesulfonyl-L-phenylalanine 219901-81-2,
 N-Pentadecanoyl-L-phenylalanine 391865-52-4 392335-51-2 392335-53-4
 392335-55-6 392335-56-7 392335-57-8, N-Pentadecanoyl-D-phenylalanine
 392335-58-9 392335-59-0 392335-60-3 392335-61-4 392335-62-5
 392335-63-6
 RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of **antimicrobial laspartomycin** derivs.)
 IT **392656-49-4P**
 RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of **antimicrobial laspartomycin** derivs.)
 RN 392656-49-4 HCAPLUS
 CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, 1-(1,1-dimethylethyl) ester, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A

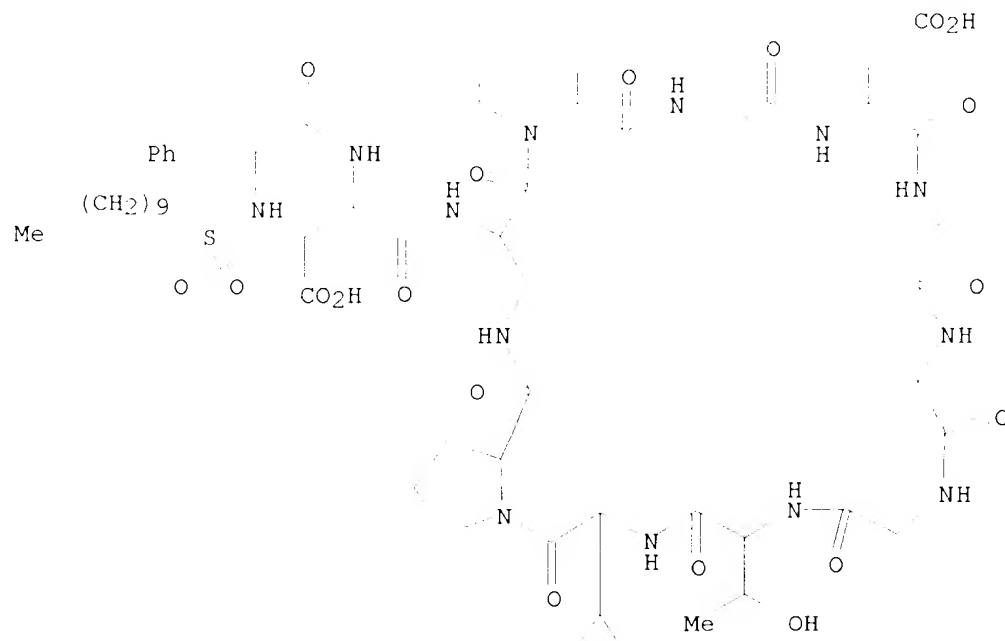


PAGE 2-A

Et Me

IT **392656-36-9P 392656-50-7P**
 RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of **antimicrobial laspartomycin** derivs.)
 RN 392656-36-9 HCAPLUS
 CN Proline, N-(decylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

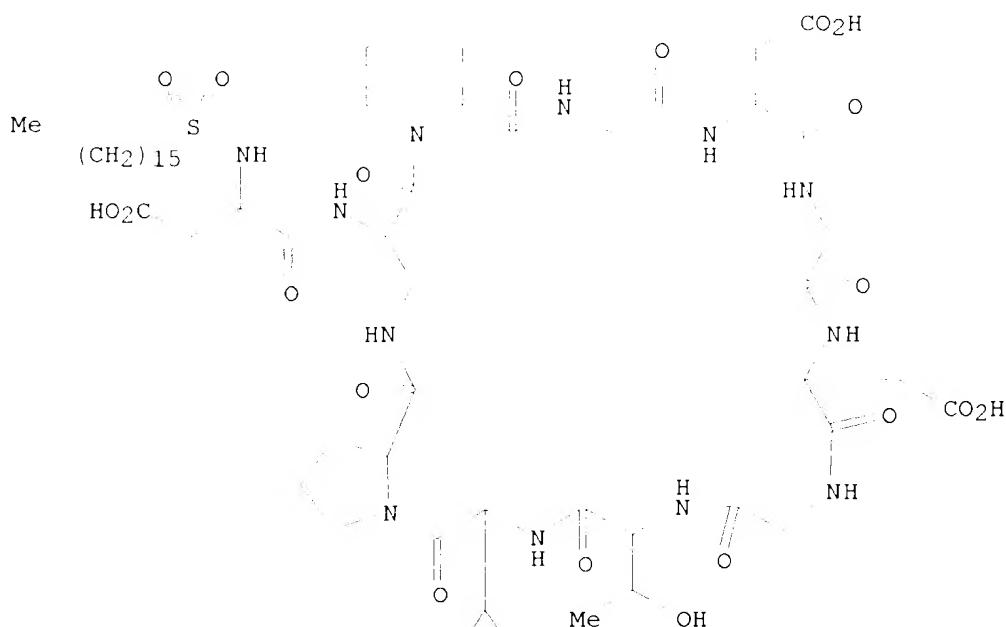
CO₂H

PAGE 2-A

Et Me

RN 392656-50-7 HCAPLUS
 CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-
 piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-
 aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA
 INDEX NAME)

PAGE 1-A



PAGE 2-A

Et Me

L9 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:172480 HCAPLUS

DOCUMENT NUMBER: 136:229329

TITLE: **Antimicrobial** sulfonamide derivatives of **lipopeptide antibiotics**

INVENTOR(S): Curran, William V.; Leese, Richard A.; Jarolmen, Howard; Borders, Donald B.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U. S. Ser. No. 760,328.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002028771	A1	20020307	US 2001-904756	20010713
US 6511962	B1	20030128	US 2001-760328	20010112
PRICRITY APPLN. INFO.:			US 2000-219059P	P 20000717

US 2000-220950P P 20000726

US 2001-760328 A2 20010112

OTHER SOURCE(S): MARPAT 136:229329

AB The present invention provides antimicrobial sulfonamide derivs. of lipopeptide antibiotics, pharmaceutical compns. of antimicrobial sulfonamide derivs., methods for making antimicrobial sulfonamide derivs., methods for inhibiting microbial growth with antimicrobial sulfonamide derivs. and methods for treating or preventing microbial infections in a subject with antimicrobial sulfonamide derivs. Antimicrobial sulfonamide derivs. are generally amino core antibiotics that have been further modified with a lipophilic sulfonyl group.

IC ICM A61K038-12

ICS C07K007-64

NCL 514009000

CC 10-5 (Microbial, Algal, and Fungal Biochemistry)

ST sulfonamide deriv **lipopeptide antibiotic**

IT Sulfonamides

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(**antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT Actinoplanes utahensis

Fermentation

(deacylase fermn. for the prodn. of **antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT **Antibiotics**

(**lipopeptide; antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT 62168-75-6P, Deacylase

RL: BPN (Biosynthetic preparation); CAT (Catalyst use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(**antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT **392699-75-1P 392699-76-2P**

RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(**antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT 172454-99-8P **391865-45-5P 391865-46-6P**

391865-53-5P 392698-01-6P 392699-69-3P 392699-80-8P

FL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(**antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT **391865-54-6P 392699-69-3DP, isomers 392699-79-5P**

FL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(**antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT 4117-65-1P, **Aspartocin**

FL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(**antimicrobial sulfonamide derivs. of lipopeptide antibiotics**)

IT 391865-47-7P 391865-48-8P 391865-49-9P 391865-50-2P 391865-51-3P

403608-68-4P

FL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)
(antimicrobial sulfonamide derivs. of lipopeptide
antibiotics)

IT 538-75-0, Dicyclohexylcarbodiimide 1676-90-0 2592-95-2,
1-Hydroxybenzotriazole 391865-52-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(antimicrobial sulfonamide derivs. of lipopeptide
antibiotics)

IT 392699-75-1P 392699-76-2P

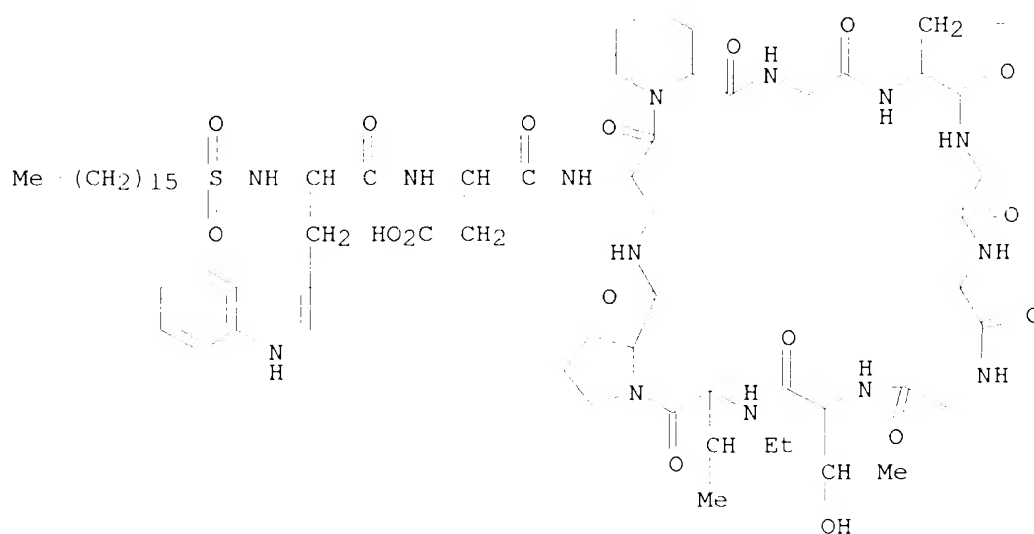
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or
recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP
(Preparation)

(antimicrobial sulfonamide derivs. of lipopeptide
antibiotics)

RN 392699-75-1 HCAPLUS

CN L-Proline, N-(hexadecylsulfonyl)-L-tryptophyl-L-.alpha.-aspartyl-3-amino-L-
alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-
aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA
INDEX NAME)

PAGE 1-A



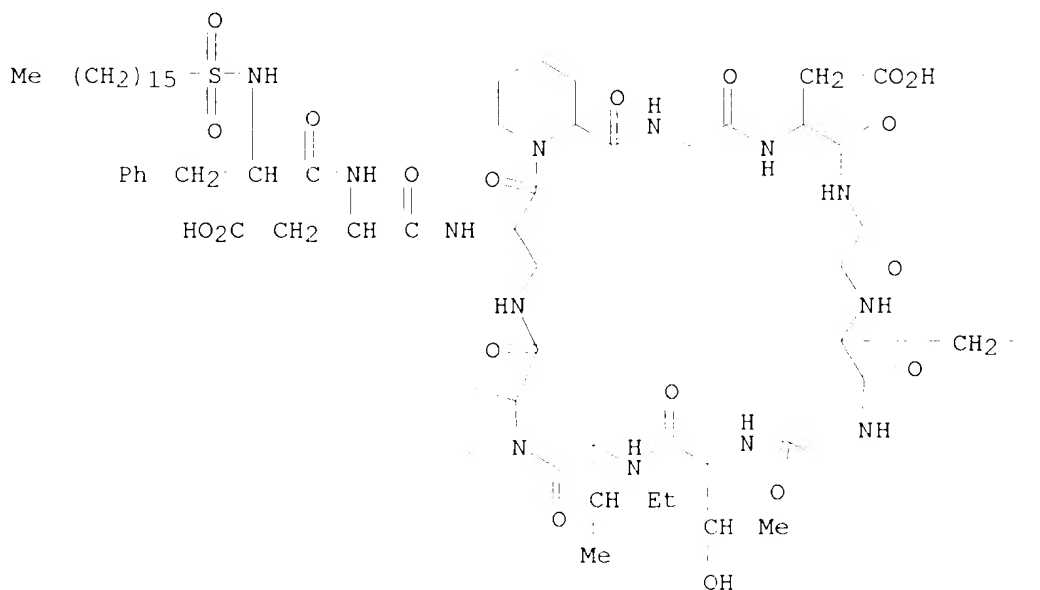
PAGE 1-B

CO₂H

CH₂ CO₂H

RN 392699-76-2 HCAPLUS
 CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI)
 (CA INDEX NAME)

PAGE 1-A



CO₂H

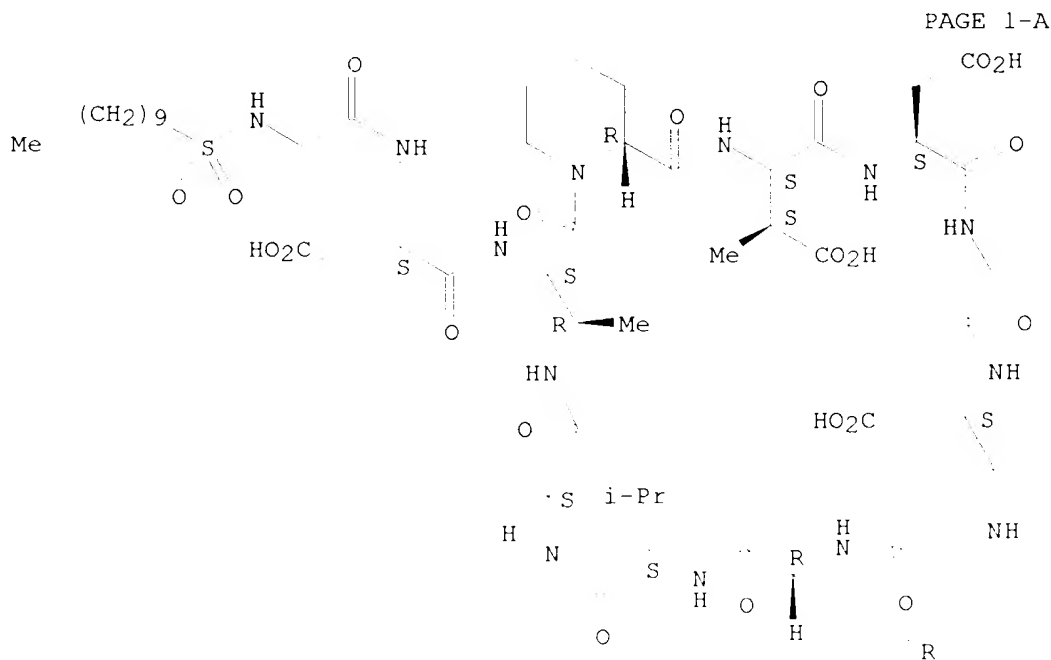
IT 391865-45-5P 391865-46-6P 391865-53-5P
392699-80-8P

RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN
(Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antimicrobial sulfonamide derivs. of lipopeptide
antibiotics)

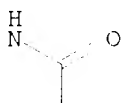
RN 391865-45-5 HCAPLUS

CN L-Proline, N-(decylsulfonyl)glycyl-L-.alpha.-aspartyl-(2S,3R)-2,3-
diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-
L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2-amino-3-[[(9H-
fluoren-9-ylmethoxy)carbonyl]amino]butanoyl-L-valyl-, (12.fwdarw.3)-lactam
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



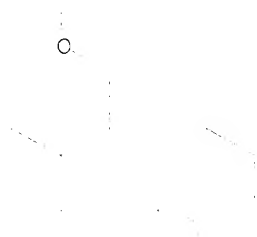
PAGE 1-B



PAGE 2-A

Me

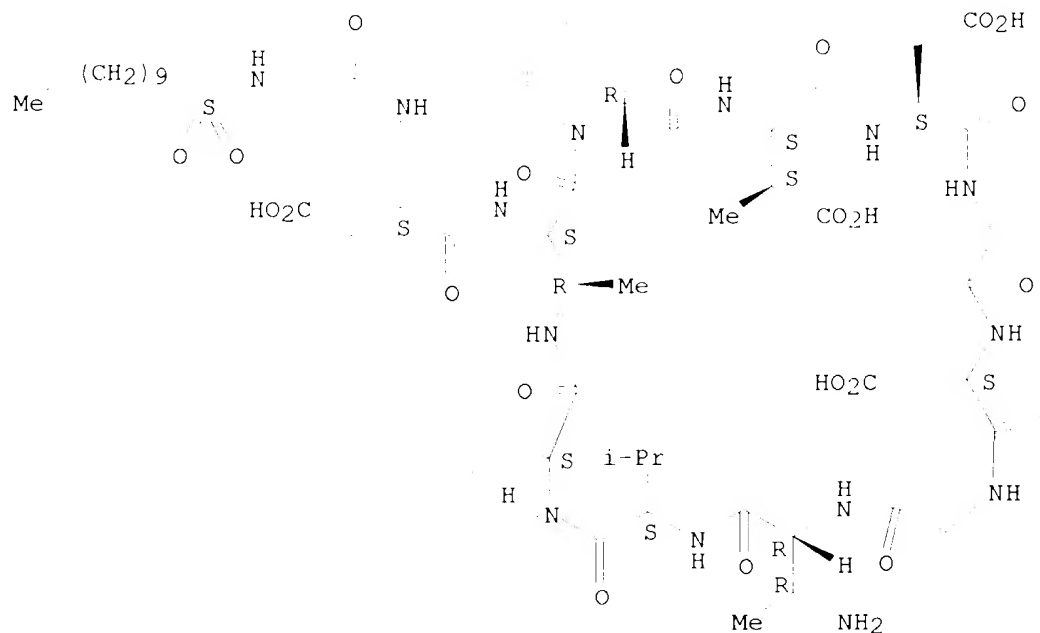
PAGE 2-B



RN 391865-46-6 HCAPLUS
CN L-Proline, N-(decylsulfonyl)glycyl-L-.alpha.-aspartyl-(2S,3R)-2,3-
diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-
L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-
diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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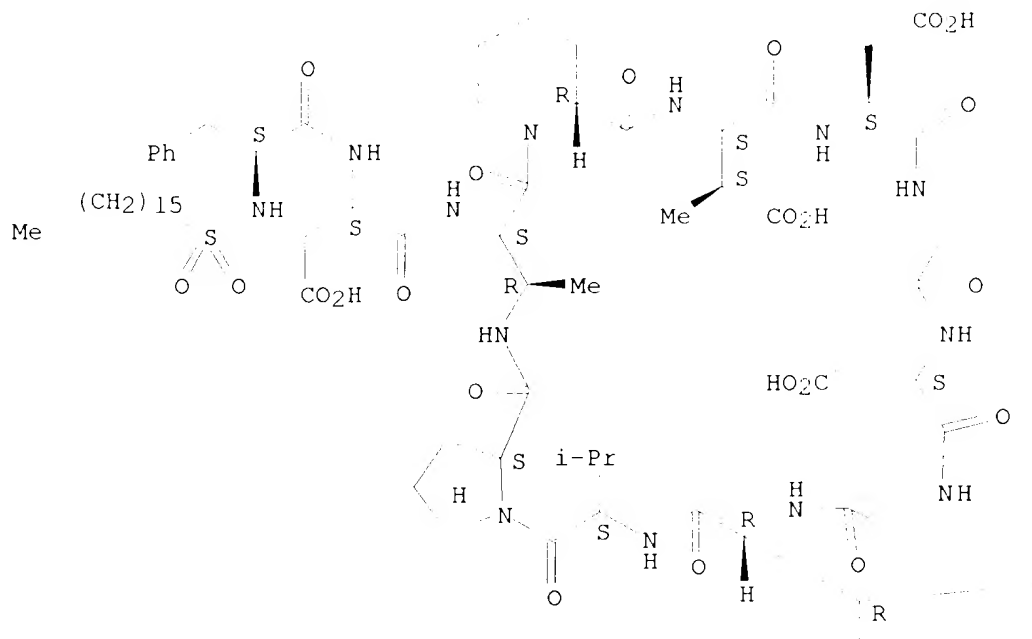
PAGE 1-B

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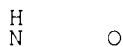
RN 391865-53-5 HCAPLUS
 CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-
 2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-
 aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2-amino-
 3-[[(9H-fluoren-9-ylmethoxy) carbonyl] amino]butanoyl-L-valyl-,
 (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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Me

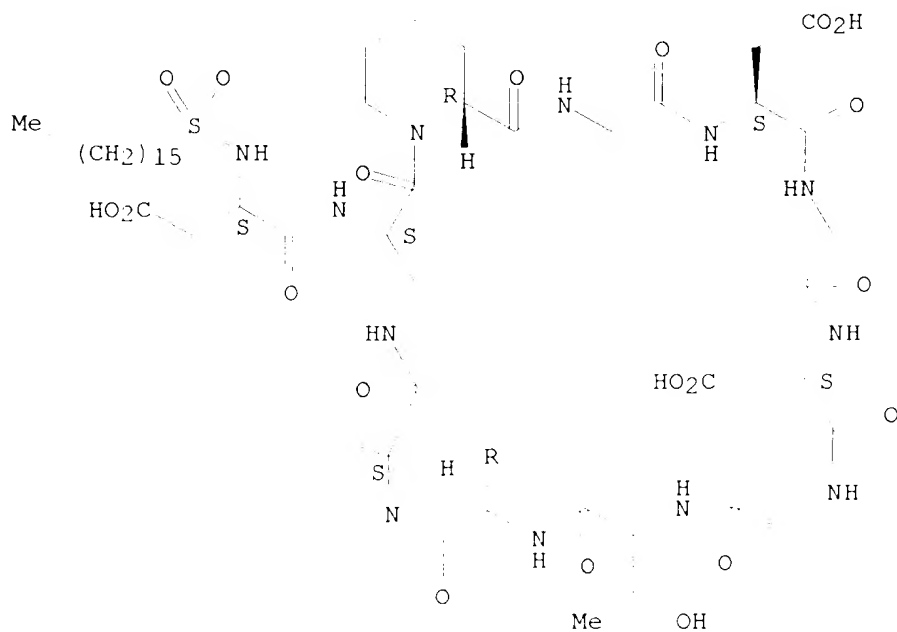
PAGE 2-B

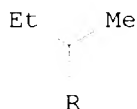


RN 392699-80-8 HCAPLUS
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 2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-
 aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.
 Currently available stereo shown.

PAGE 1-A





IT 391865-54-6P 392699-79-5P

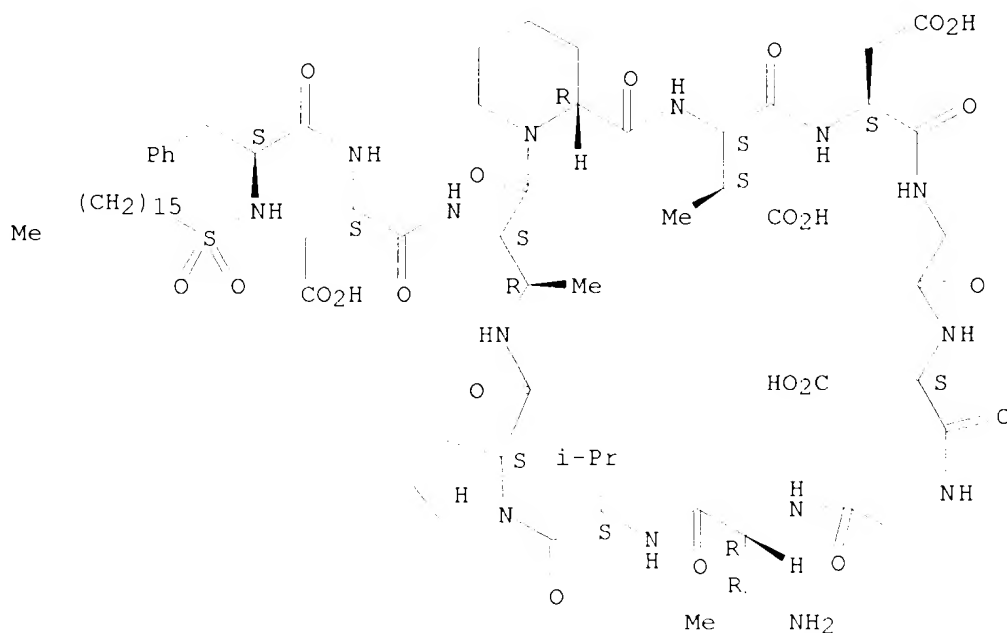
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(antimicrobial sulfonamide derivs. of lipopeptide antibiotics)

RN 391865-54-6 HCAPLUS

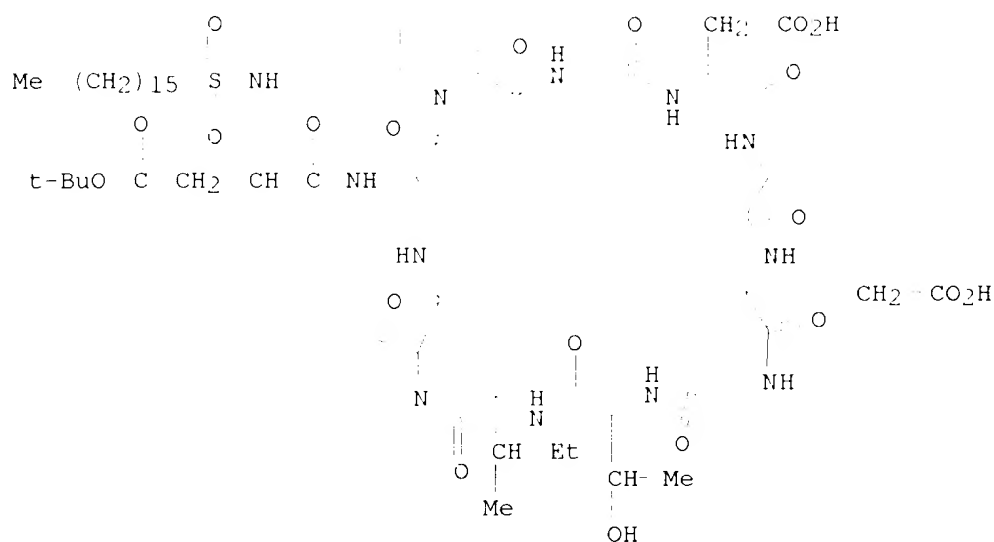
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392699-79-5 HCAPLUS

CN L-Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, 1-(1,1-dimethylethyl) ester, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:71894 HCAPLUS

DOCUMENT NUMBER: 136:134619

TITLE: Derivatives of **laspartomycin** and preparation and use thereof

INVENTOR(S): Borders, Donald B.; Curran, William V.; Fantini, Amadeo A.; Francis, Norren D.; Jarolmen, Howard; Leese, Richard A.

PATENT ASSIGNEE(S): Intrabiotics Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005838	A1	20020124	WO 2001-US22353	20010717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6511962	B1	20030128	US 2001-760328	20010112
PRIORITY APPLN. INFO.:				
			US 2000-219059P	P 20000717
			US 2000-220950P	P 20000726
			US 2001-760328	A 20010112

OTHER SOURCE(S): MARPAT 136:134619

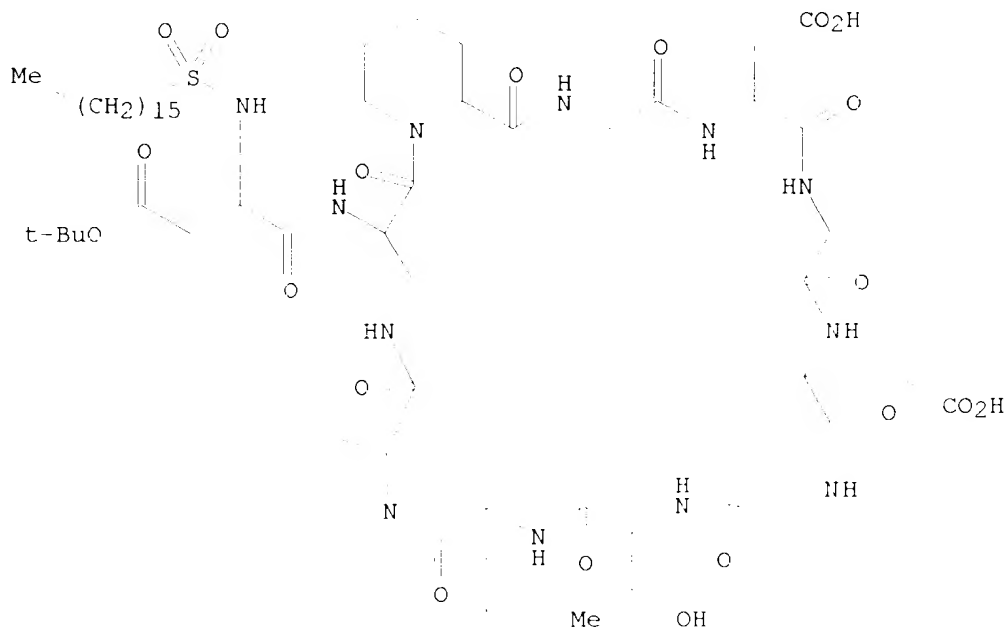
AB The present invention provides laspartomycin core peptides, laspartomycin core peptide derivs., antimicrobial laspartomycin derivs., methods for

making laspartomycin core peptides, methods for making laspartomycin core peptide derivs., methods for making antimicrobial laspartomycin derivs., pharmaceutical compns. of antimicrobial laspartomycin derivs., methods of inhibiting microbial growth and methods for treating and/or preventing microbial infections in a subject.

- IC ICM A61K038-12
ICS C07K007-56; C12P021-04
- CC 26-6 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 10
- ST **antibiotic laspartomycin** deriv
- IT Actinoplanes utahensis
Antibiotics
Fermentation
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT Streptomyces viridochromogenes
(komabensis; derivs. of **laspartomycin** and prepn. and use thereof)
- IT 62168-75-6P, Deacylase
RL: BPN (Biosynthetic preparation); CAT (Catalyst use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392656-28-9P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392699-69-3P
RL: BPN (Biosynthetic preparation); FRP (Properties); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392656-33-6P
RL: BPN (Biosynthetic preparation); FRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392656-55-2P 392656-56-3P 392656-59-6P 392656-63-2P 392656-64-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392656-35-8P 392656-37-0P 392656-39-2P 392656-40-5P 392656-46-1P
392656-51-8P 392656-53-0P 392656-54-1P 392656-58-5P 392656-60-9P
392711-94-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392656-43-8P 392656-45-0P **392656-49-4P** 392656-52-9P
392656-57-4P 392711-93-2P
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392656-34-7P **392656-36-9P** 392656-38-1P 392656-41-6P
392656-42-7P 392656-44-9P **392656-50-7P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

- (derivs. of **laspartomycin** and prepn. and use thereof)
- IT 392656-48-3 392656-61-0 392656-62-1 392656-65-4 392656-66-5
392711-92-1 392712-22-0
RL: PAC (Pharmacological activity); BIOL (Biological study)
- (derivs. of **laspartomycin** and prepn. and use thereof)
- IT 1002-84-2, Pentadecanoic acid 1943-84-6, Hexadecylisocyanate
2177-63-1, L-Aspartic acid 4-O-benzyl ester 2312-15-4,
p-Dodecyloxybenzoic acid 2592-95-2, 1-Hydroxybenzotriazole 3224-48-4,
Tetradecylisothiocyanate 4202-38-4 5519-23-3, p-Decyloxybenzoic acid
24460-74-0, Dodecyl chloroformate 58725-40-9, p-Dodecanamidobenzoic acid
131803-81-1, Decanesulfonyl-L-phenylalanine 219901-81-2,
N-Pentadecanoyl-L-phenylalanine 391865-52-4 392335-55-6 392335-56-7
392335-57-8, N-Pentadecanoyl-D-phenylalanine 392335-58-9 392335-59-0
392335-60-3 392335-61-4 392335-62-5 392335-63-6
RL: RCT (Reactant); RACT (Reactant or reagent)
- (derivs. of **laspartomycin** and prepn. and use thereof)
- IT **392656-49-4P**
RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (derivs. of **laspartomycin** and prepn. and use thereof)
- RN 392656-49-4 HCAPLUS
- CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonyl-1-(1,1-dimethylethyl) ester, (11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)

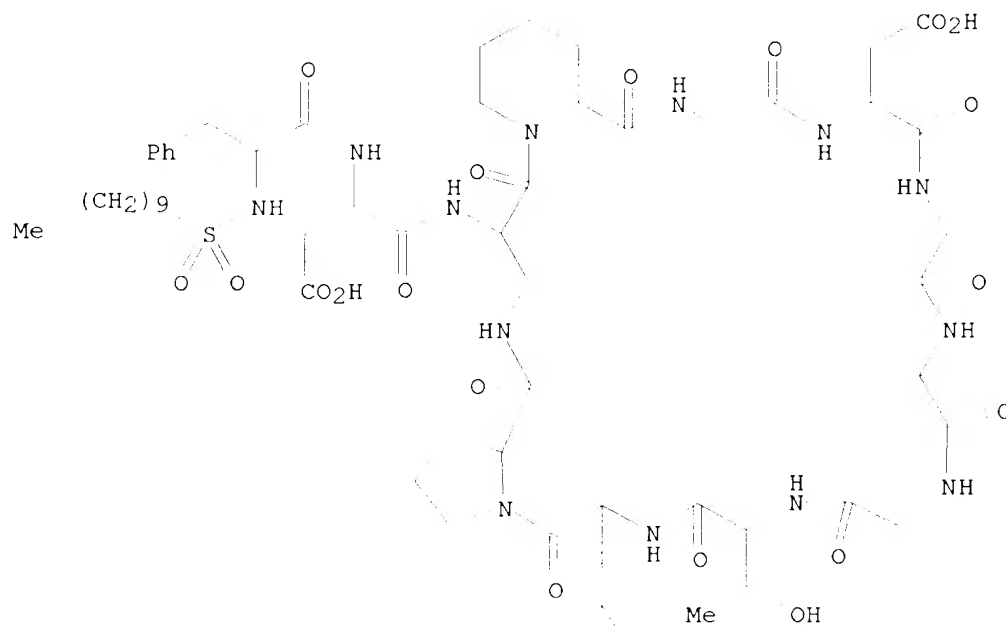
PAGE 1-A



Et Me

IT **392656-36-9P 392656-50-7P**
 RL: IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
 (derivs. of **laspartomycin** and prepn. and use thereof)
 RN 392656-36-9 HCAPLUS
 CN Proline, N-(decylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-aminoalanyl-2-piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

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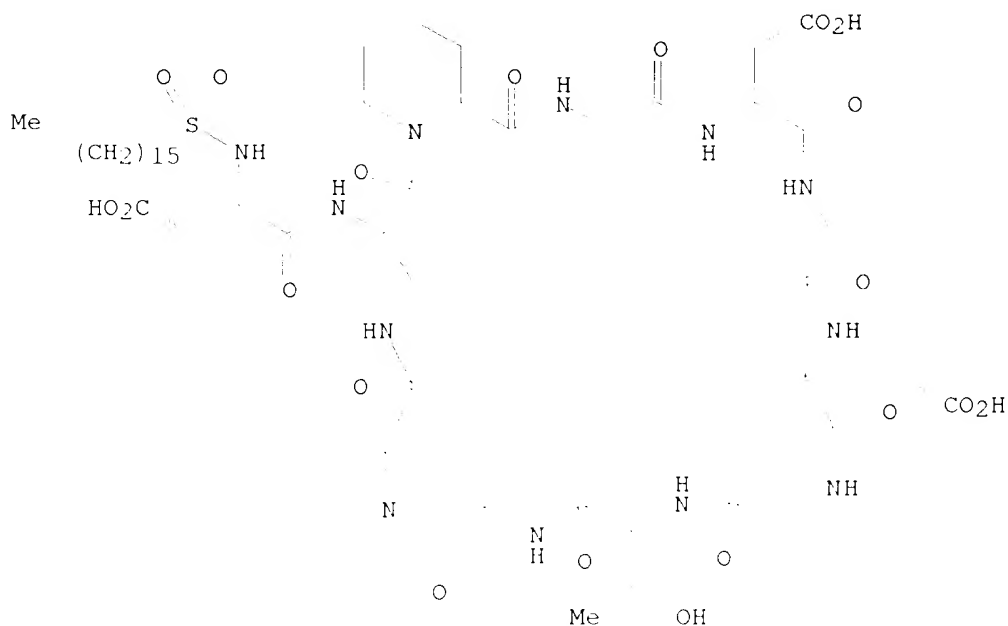
CO₂H

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Et Me

RN 392656-50-7 HCAPLUS
 CN Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-aminoalanyl-2-
 piperidinecarbonylglycyl-.alpha.-aspartylglycyl-.alpha.-
 aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA
 INDEX NAME)

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Et Me

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:71893 HCAPLUS

DOCUMENT NUMBER: 136:129034

TITLE: **Antimicrobial** sulfonamide derivatives of
lipopeptide antibiotics

INVENTOR(S): Curran, William V.; Leese, Richard A.; Jarolmen,
Howard; Borders, Donald B.

PATENT ASSIGNEE(S): Intrabiotics Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005837	A1	20020124	WO 2001-US22352	20010717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-219059P P 20000717
US 2000-220950P P 20000726

OTHER SOURCE(S): MARPAT 136:129034

AB The invention provides antimicrobial sulfonamide derivs. of lipopeptide antibiotics, pharmaceutical compns. of antimicrobial sulfonamide derivs., methods for making antimicrobial sulfonamide derivs., methods for inhibiting microbial growth with antimicrobial sulfonamide derivs., and methods for treating or preventing microbial infections in a subject with antimicrobial sulfonamide derivs. Antimicrobial sulfonamide derivs. are generally an amino core antibiotic that has been further modified with a lipophilic sulfonyl group.

IC ICM A61K038-12

ICS C07K007-56

CC 1-5 (Pharmacology)

Section cross-reference(s): 34, 63

ST **antimicrobial** sulfonamide deriv **lipopeptide**
antibiotic prepn

IT **Antibacterial** agents
Antibiotics

Antimicrobial agents

Drug delivery systems

Fermentation

Staphylococcus aureus

Sulfonylation

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **Lipopeptides**

Sulfonamides

FL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Sulfonfyl halides

FL: RCT (Reactant); RACT (Reactant or reagent)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Sulfonfyl halides

FL: RCT (Reactant); RACT (Reactant or reagent)

(chlorides; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Peptides, biological studies

PL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Actinoplanes utahensis

(deacylase from; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT Esters, reactions

FL: RCT (Reactant); RACT (Reactant or reagent)

(sulfonfyl esters; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 62168-75-6P, Deacylase

FL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 172455-04-8P

FL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 12676-61-8, **Laspartomycin**

FL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **391865-46-6P 391865-54-6P 392699-74-0P**

392699-75-1P 392699-76-2P 392699-80-8P

FL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 1402-82-0D, **Amphomycin**, derivs. 1405-08-9D, **Zaomycin**, derivs.

4117-65-1D, **Aspartocin**, derivs. 11054-63-0D, **Tsushimycin**,

derivs. 12676-61-8D, **Laspartomycin**, derivs. 37226-23-6D, Crystallomycin, derivs. 55467-31-7D, Cerexin A, derivs. 55467-34-0D, Cerexin B, derivs. 59979-14-5D, Brevistin, derivs. 63035-06-3D, **Antibiotic A 30912**, derivs. 82800-76-8D, **Antibiotic A 21978C**, derivs. 188793-60-4D, **Antibiotic A 54145**, derivs. 239802-15-4D, derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 392688-01-6P

RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 392699-69-3DP, isomers

RL: SPN (Synthetic preparation); PREP (Preparation)

(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 172454-99-8P **391865-45-5P** 391865-47-7P 391865-48-8P

391865-49-9P 391865-50-2P 391865-51-3P **391865-53-5P**

392699-69-3P 392699-71-7P 392699-72-8P **392699-79-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT 2592-95-2D, 1-Hydroxybenzotriazole, esters 7524-50-7, L-Phenylalanine

methyl ester hydrochloride 28920-43-6, Fmoc chloride 38775-38-1,

Hexadecylsulfonyl chloride 67557-19-1, Tryptophan methyl ester

hydrochloride 391865-44-4 391865-52-4 392699-78-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction; **antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

IT **391865-46-6P 391865-54-6P 392699-75-1P**

392699-76-2P 392699-80-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

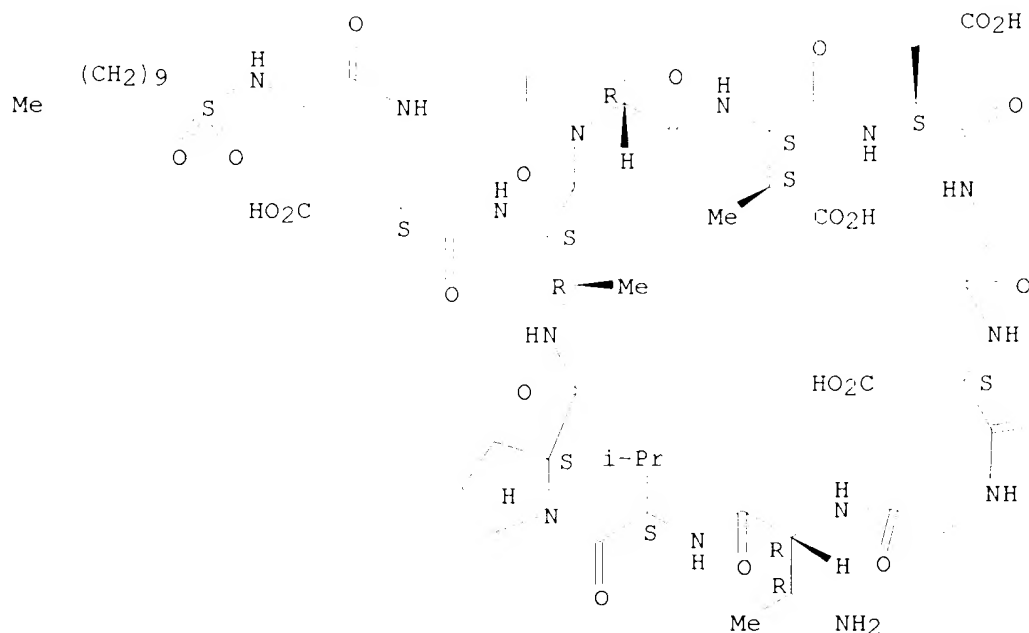
(**antimicrobial** sulfonamide derivs. of **lipopeptide antibiotics**)

RN 391865-46-6 HCAPLUS

CN L-Proline, N-(decylsulfonyl)glycyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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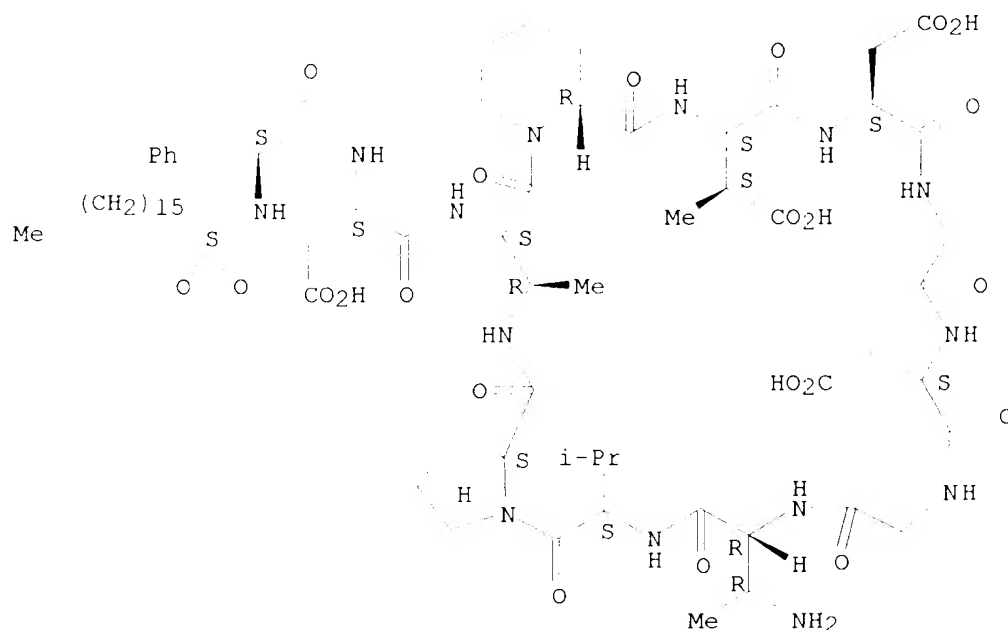
PAGE 1-B

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RN 391865-54-6 HCAPLUS

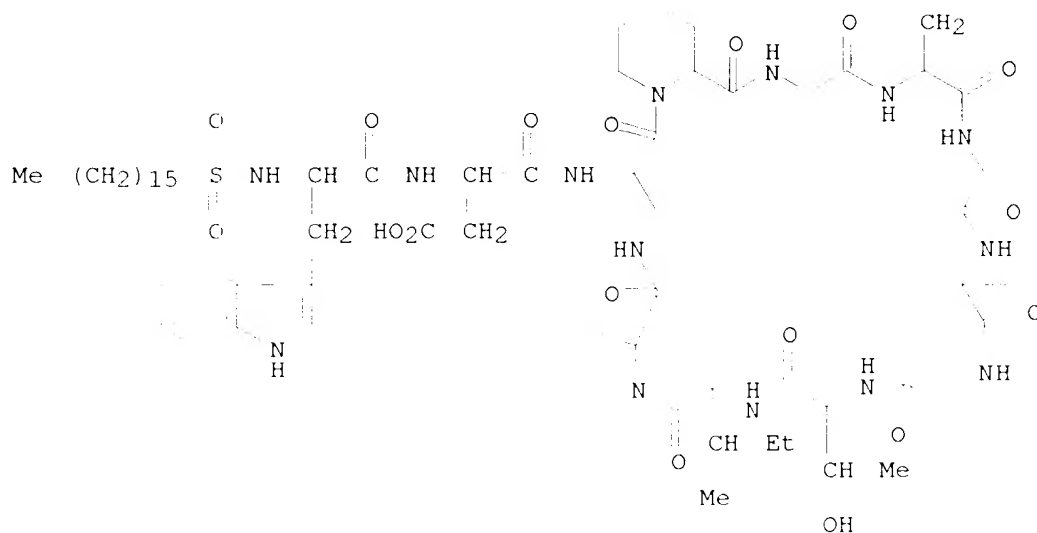
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2,3-diaminobutanoyl-L-valyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392699-75-1 HCAPLUS
 CN L-Proline, N-(hexadecylsulfonyl)-L-tryptophyl-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

PAGE 1-A



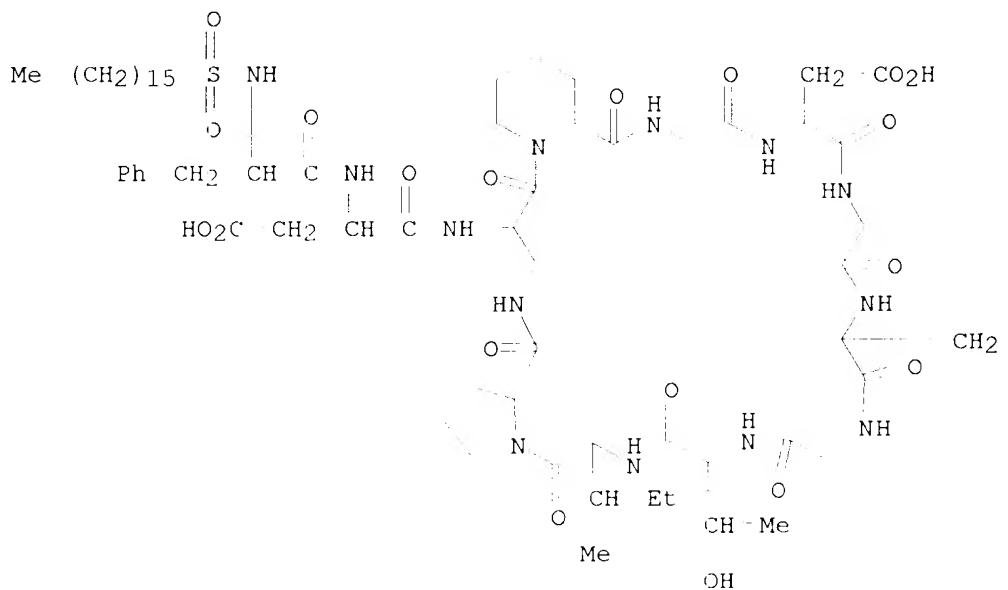
PAGE 1-B

CO₂H

CH₂ CO₂H

RN 392699-76-2 HCAPLUS
 CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycylallothreonylisoleucyl-, (12.fwdarw.3)-lactam (9CI)
 (CA INDEX NAME)

PAGE 1-A

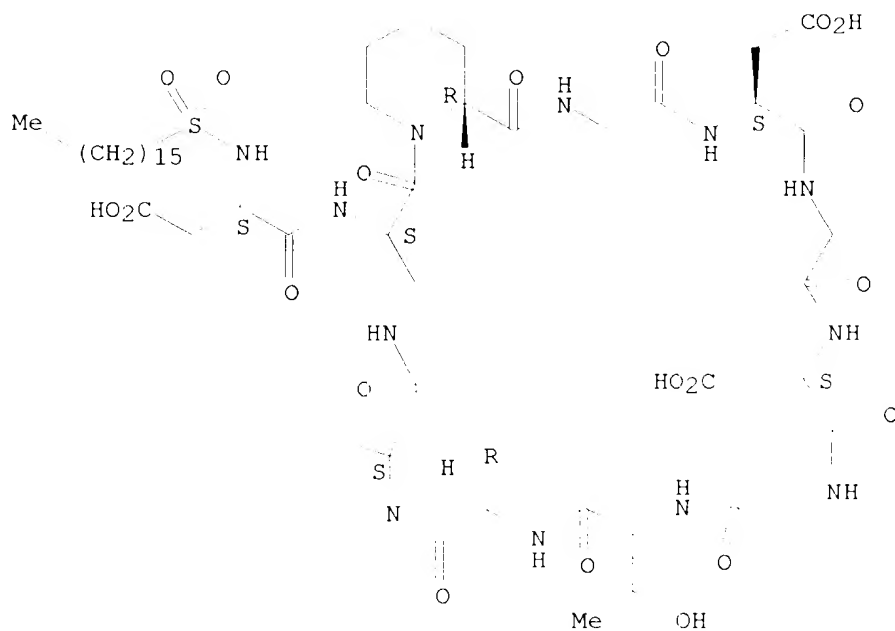


CO₂H

RN 392699-80-8 HCAPLUS
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 2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-
 aspartylglycylallothreonylisoleucyl-, (11.fwdarw.2)-lactam (9CI) (CA
 INDEX NAME)

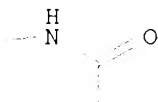
Absolute stereochemistry.
 Currently available stereo shown.

PAGE 1-A



PAGE 1-B

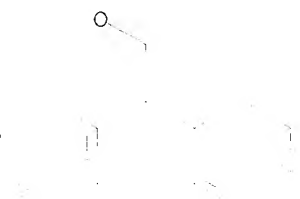
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PAGE 2-A

Me

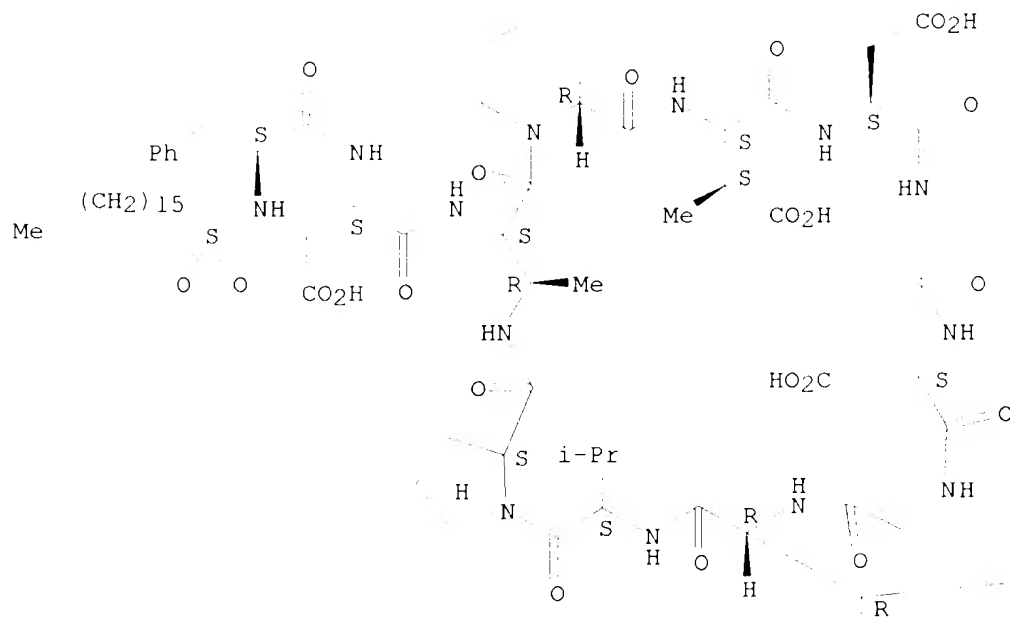
PAGE 2-B



RN 391865-53-5 HCAPLUS
CN L-Proline, N-(hexadecylsulfonyl)-L-phenylalanyl-L-.alpha.-aspartyl-(2S,3R)-
2,3-diaminobutanoyl-(2R)-2-piperidinecarbonyl-(3S)-3-methyl-L-.alpha.-
aspartyl-L-.alpha.-aspartylglycyl-L-.alpha.-aspartylglycyl-(2R,3R)-2-amino-
3-[[(9H-fluoren-9-ylmethoxy) carbonyl] amino]butanoyl-L-valyl-,
(12.fwdarw.3)-lactam (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



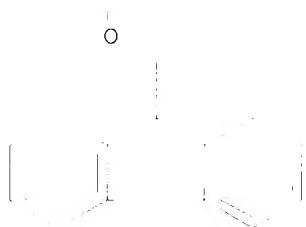
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PAGE 2-A

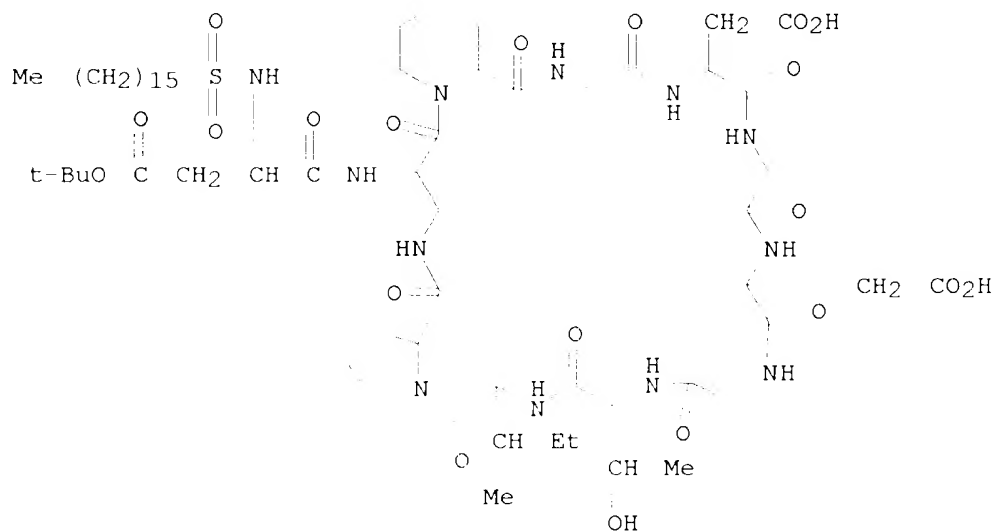
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PAGE 2-B



RN 392699-79-5 HCAPLUS

CN L-Proline, N-(hexadecylsulfonyl)-L-.alpha.-aspartyl-3-amino-L-alanyl-(2R)-
2-piperidinecarbonylglycyl-L-.alpha.-aspartylglycyl-L-.alpha.-
aspartylglycylallothreonylisoleucyl-, 1-(1,1-dimethylethyl) ester,
(11.fwdarw.2)-lactam (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:31525 HCAPLUS

DOCUMENT NUMBER: 134:101193

TITLE: Preparation of peptide boronic acid inhibitors of hepatitis C virus protease

INVENTOR(S): Kettner, Charles A.; Jagannathan, Sharada; Forsyth, Timothy Patrick

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 258 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002424	A2	20010111	WO 2000-US18655	20000707
WO 2001002424	A3	20010719		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000057888	A5	20010122	AU 2000-57888	20000707
EP 1196436	A2	20020417	EP 2000-943413	20000707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-142561P P 19990707
 WO 2000-US18655 W 20000707

OTHER SOURCE(S): MARPAT 134:101193

AB .alpha.-Aminoboronic acids and corresponding peptide analogs
 R3-A-NR2CHR1BY1Y2 [Y1, Y2 = OH, F, an amino group, alkoxy or BY1Y2 is a cyclic boron ester, amide or amide-ester; R1 = CH:CH2, CH2CH:CH2, CH:CHCH3, C.tplbond.CH, C.tplbond.CCH3, CH2C.tplbond.CH, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclobutylmethyl, mercaptoalkyl, alkylidithioalkyl, etc.; A is a bond, a natural or unnatural amino acid residue, or a peptide residue comprising 2-10 amino acids; R2 = H, alkyl, aryl, arylalkyl, cycloalkyl; R3 = H, alkanoyl, alkyl, alkenyl, alkynyl, aryl, carbalkoxy, alkylsulfinyl, alkylsulfonyl, carbamoyl, etc.] were prepd. for the treatment of hepatitis C viral infections. Thus, Boc-Asp(OBu-t)-Glu(OBu-t)-Val-Val-Pro-boroCpa-OH pinanediol ester (Boc = tert-butoxycarbonyl, boroCpa is L-2-amino-3-cyclopropylboronic acid residue) was prepd. by std. methods of peptide coupling in soln. Enzyme assays, dosages and formulations are discussed.

IC ICM C07K

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7, 10, 29, 63

IT	319006-73-0P	319006-75-2P	319006-77-4P	319006-79-6P	319006-81-0P
	319006-83-2P	319006-85-4P	319006-87-6P	319006-89-8P	319006-91-2P
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptide boronic acid inhibitors of hepatitis C virus protease)

IT **319428-28-9P**

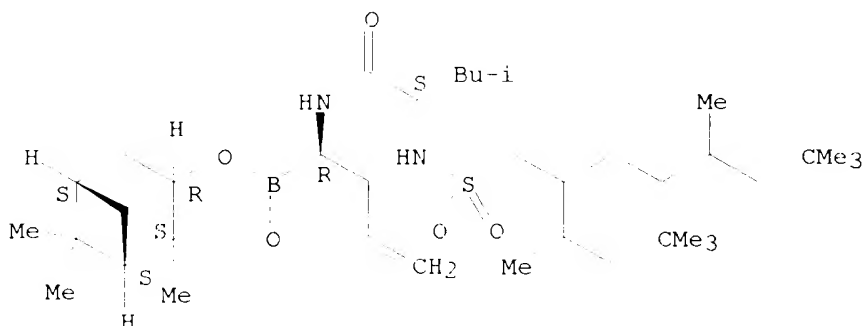
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptide boronic acid inhibitors of hepatitis C virus protease)

RN 319428-28-9 HCAPLUS

CN Pentanamide, N-[(1R)-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]-3-butenyl]-4-methyl-2-[[5,7,7-trimethyl-2-(1,3,3-trimethylbutyl)octyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:190916 HCAPLUS

DOCUMENT NUMBER: 130:236806

TITLE: Preparation of remedial or preventive agents for congestive heart failure

INVENTOR(S): Watanabe, Fumihiko; Gemba, Takefumi; Tsuzuki, Hiroshige; Shimamura, Toshitake

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 69 pp.

COPIES: PIXXD2

DOCUMENT TYPE: Patent

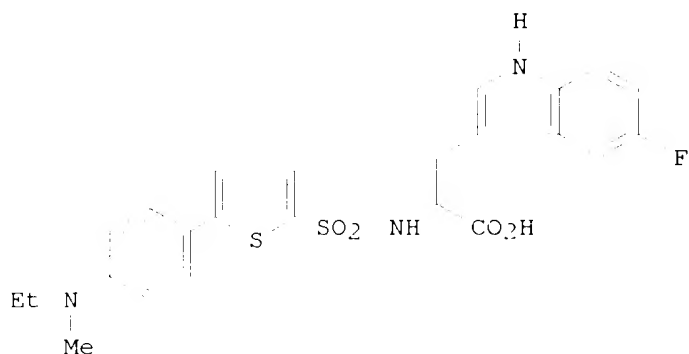
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015213	A1	20000323	WO 1999-JP4859	19990908
<p>W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG</p>				
AU 9956470	A1	20000403	AU 1999-56470	19990908
PRIORITY APPLN. INFO.:			JP 1998-258033	A 19980911
			WO 1999-JP4859	W 19990908

GI



AB Title compds. (R)-R5R4R3SO2N(R2)CH(R1)COY [I; R1 and R2 each represents hydrogen, optionally substituted lower alkyl, optionally substituted (hetero)aryl, etc.; R3 represents optionally substituted (hetero)arylene, etc.; R4 represents, e.g., a single bond, CC, or a group represented by Q, R5 represents optionally substituted (hetero)aryl, optionally substituted nonarom. heterocyclic group, etc.; and Y represents NHOH or OH], stereoisomers, pharmacol. acceptable salts, and hydrates are prepd. as remedial or preventive agents for congestive heart failure in mammal. The title compd. (S)-II was prepd.

IC ICM A61K031-16

ICS A61K031-165; A61K031-195; A61K031-17; A61K031-42; A61K031-41; A61K031-34; A61K031-425; A61K031-405; A61K031-38; A61K031-535; A61K031-44

CC 23-16 (Aliphatic Compounds)

Section cross-reference(s): 1, 34, 63

IT 56176-31-9P	70136-17-3P	130633-87-3P	140645-36-9P	177583-41-4P
188006-04-4P	188006-06-6P	188006-15-7P	188006-26-0P	188006-42-0P
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220043-29-8P	220043-30-1P			

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of remedial or preventive agents for congestive heart failure)

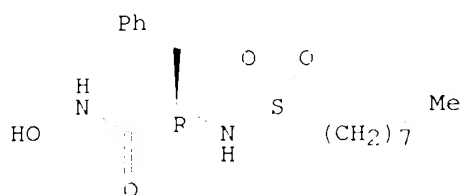
IT **193808-78-5P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of remedial or preventive agents for congestive heart failure)

RN 193808-78-5 HCAPLUS

CN Benzenepropanamide, N-hydroxy-.alpha.-[(octylsulfonyl)amino]-, (.alpha.R)-
(PCI) (CA INDEX NAME)

Absolute stereochemistry.

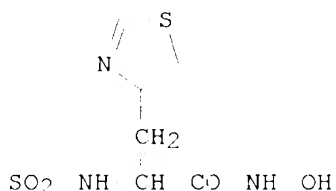


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:579153 HCAPLUS
 DOCUMENT NUMBER: 131:214280
 TITLE: Preparation of sulfonamides as MMP-8 inhibitors
 INVENTOR(S): Watanabe, Fumihiko; Tsumiki, Hiroshige
 PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11246527	A2	19990914	JP 1998-49260	19980302
PRIORITY APPLN. INFO.:			JP 1998-49260	19980302
OTHER SOURCE(S):			MARPAT 131:214280	

GI



I

AB The title compds. R4R3SO2N(R2)CH(R1)COY [R1 = (un)substituted alkyl, etc.; R2 = H, alkyl, etc.; R3 = phenylene, etc.; R4 = (un)substituted phenyl; Y = NHOH, OH] are prepd. The title compd. I at 1000 nM gave 97.6% inhibition of MMP-8. Formulations are given.

IC ICM C07D213-55
 ICS A61K031-18; A61K031-34; A61K031-38; A61K031-405; A61K031-41;
 A61K031-425; A61K031-44; C07C311-19; C07C311-29; C07C311-37;
 C07D209-20; C07D213-56; C07D257-04; C07D277-16; C07D307-91;
 C07D333-34; C07D403-12; C07D409-12

CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 27, 34, 63

IT 130633-87-3P 140645-36-9P 193807-58-8P 193807-60-2P 193807-62-4P
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243144-14-1P	243144-15-2P	243144-16-3P	243144-17-4P	243144-18-5P
243144-93-6P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides as MMP-8 inhibitors)

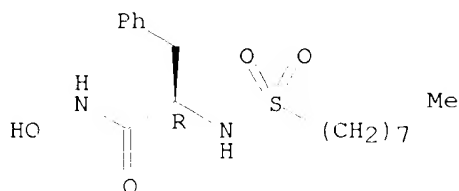
IT **193808-78-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides as MMP-8 inhibitors)

RN 193808-78-5 HCAPLUS

CN Benzenepropanamide, N-hydroxy-.alpha.-[(octylsulfonyl)amino]-, (.alpha.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:113626 HCAPLUS

DOCUMENT NUMBER: 130:168652

TITLE: Preparation of substituted amino acid N-hydroxyamides as metalloprotease inhibitors

INVENTOR(S): Almstead, Neil Gregory; Bookland, Roger Gunnard; Taiwo, Yetunde Olabisi; Bradley, Kimma Sandler; Bush, Rodney Dean; De, Biswanath; Natchus, Michael George; Pikul, Stanislaw

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SCURCE: PCT Int. Appl., 63 pp.

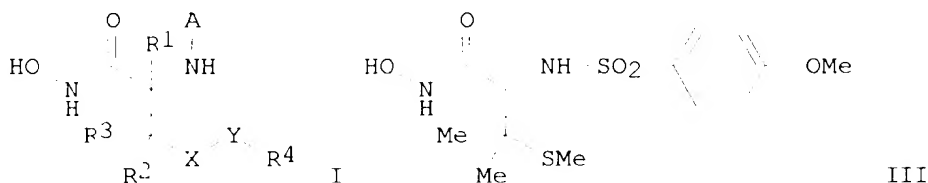
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

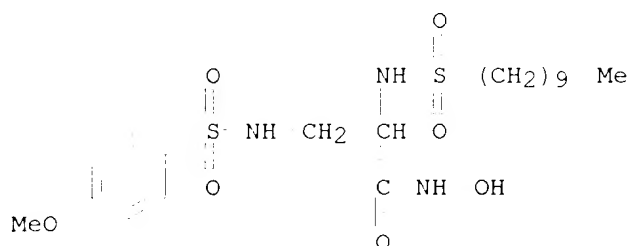
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906340	A2	19990211	WO 1998-IB1139	19980727
WO 9906340	A3	19990930		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9882376	A1	19990222	AU 1998-82376	19980727
AU 746877	B2	20020502		
EP 1009737	A2	20000621	EP 1998-932460	19980727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9810841	A	20010710	BR 1998-10841	19980727
JP 2001513484	T2	20010904	JP 2000-505105	19980727
US 6218389	B1	20010417	US 1998-127678	19980731
NO 2000000464	A	20000330	NO 2000-464	20000128
PRIORITY APPLN. INFO.:			US 1997-54348P	P 19970731
			WO 1998-IB1139	W 19980727
OTHER SOURCE(S):		MARPAT 130:168652		
GI				

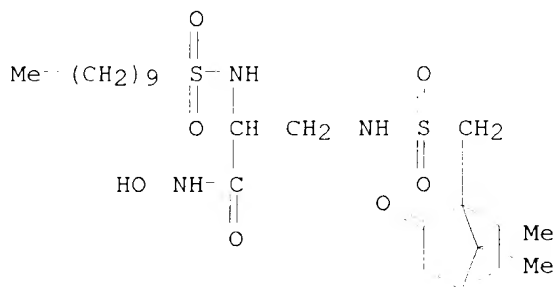


AB The invention provides title compds. I [A = SO₂Ar, COAr, CONHAr, P(O)(R)Ar; Ar = (un)substituted mono- or bicyclic aryl or heteroaryl; R₁ = H, alkyl; R₂-R₄ = independently H, (un)substituted alkyl, aryl, heteroaryl, arylalkyl, alkoxyalkyl, heterocyclyl, heterocyclylalkyl; R₁R₂, R₂R₃, R₃R₄ may form rings; X = bond, C1-6 alkyl, CO, O, N, NZ, S, S(O), SO₂; Y = bond, C1-6 alkyl, CO, CO₂, CONH, O, N, NZ, S, S(O), SO₂; Z = H, COR₄, CO₂R₄, CONHR₄, R₄, C(S)R₄, CSNHR₄, SO₂R₄] or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or imide thereof are useful as inhibitors of metalloproteases. Also disclosed are pharmaceutical compns. and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compds. or the pharmaceutical compns. contg. them. Thus, S-methylation of D-penicillamine (D-Pen) with Me₂SO₄ and Ba(OH)₂, followed by N-sulfonylation with 4-MeOC₆H₄SO₂Cl gave 73% adduct 4-MeOC₆H₄SO₂-D-Pen(Me)-OH (II). Acid chlorination of II with oxalyl chloride, followed by amidation with hydroxylamine gave desired N-hydroxyamide III in 65% yield.

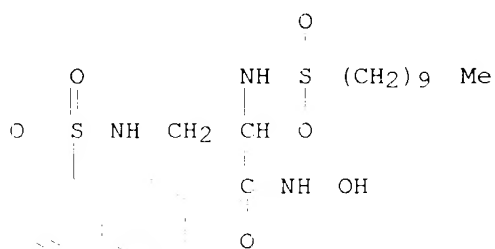
IC ICM C07C
 CC 34-2 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 63
 IT 1499-54-3P 65654-27-5P 73912-91-1P 192570-15-3P 193807-76-0P
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220391-46-8P 220391-48-0P 220391-50-4P
220391-52-6P 220391-54-8P 220391-55-9P
 220391-57-1P 220391-58-2P 220391-59-3P 220391-60-6P 220391-61-7P
 220391-64-0P 220391-65-1P 220391-66-2P 220391-67-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of substituted amino acid N-hydroxyamides as metalloprotease inhibitors)
 IT **220391-45-7P 220391-46-8P 220391-48-0P**
220391-50-4P 220391-52-6P 220391-54-8P
220391-55-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of substituted amino acid N-hydroxyamides as metalloprotease inhibitors)
 RN 220391-45-7 HCAFLUS
 CN Propanamide, 2-[(decylsulfonyl)amino]-N-hydroxy-3-[[4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



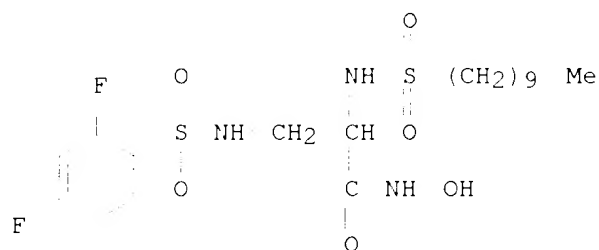
RN 220391-46-8 HCAPLUS
 CN Propanamide, 2-[(decylsulfonyl)amino]-3-[[[(7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



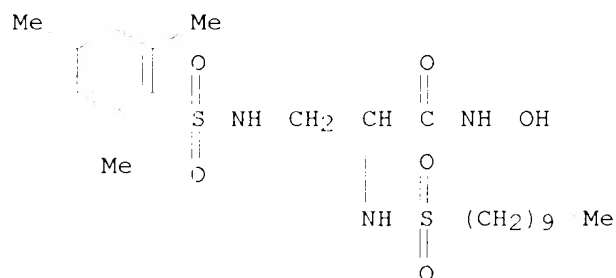
RN 220391-48-0 HCAPLUS
 CN Propanamide, 2-[(decylsulfonyl)amino]-N-hydroxy-3-[(1-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



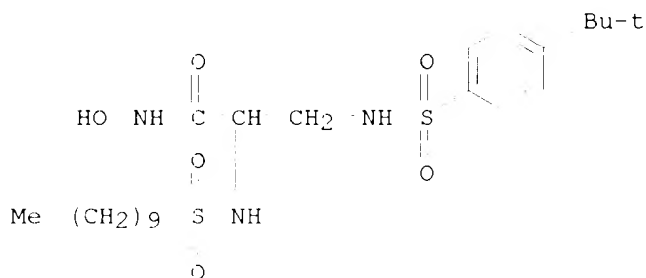
RN 220391-50-4 HCAPLUS
 CN Propanamide, 2-[(decylsulfonyl)amino]-3-[[[(2,4-difluorophenyl)sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



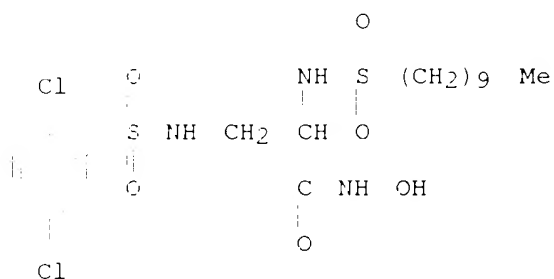
RN 220391-52-6 HCAPLUS
 CN Propanamide, 2-[(decylsulfonyl)amino]-N-hydroxy-3-[[2,4,6-trimethylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 220391-54-8 HCAPLUS
 CN Propanamide, 2-[(decylsulfonyl)amino]-3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 220391-55-9 HCAPLUS
 CN Propanamide, 2-[(decylsulfonyl)amino]-3-[[[2,5-dichlorophenyl)sulfonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



L9 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:246624 HCAPLUS

DOCUMENT NUMBER: 129:32318

TITLE: Cataract curative medicine.

INVENTOR(S): Watanabe, Toshiaki; Yoshii, Shigehiko; Saito, Kenichi; Ando, Ryoichi

PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 74 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10101557	A2	19980421	JP 1997-197216	19970723
			JP 1996-208540	19960807

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 129:32318

GI For diagram(s), see printed CA Issue.

AB The cataract curative medicine has an effective component of structure (I), its salt, solvate, or hydrate, where R1 is R4-CO-, R4-O-CO-, or R4-SO2- (R4: C1-20 alkyl), R2 is C1-C6 alkyl, R3 is H or R5-CO- (R5: C1-10 alkyl), and A is C1-3 alkylene. Thus, 998 mg N-phenylsulfonyl-L-leucine was react with 6 mL SO2Cl2 and 443 mg homoserine lactone to give (S)-3-[(S)-4-methyl-2-phenylsulfonylaminovaleryl-amino]-2-tetrahydrofuranone 861 mg, which was reacted with hydrogendiisobutylaluminum to give (3S)-3-[(S)-4-Methyl-2-phenylsulfonylaminovaleryl-amino]-2-tetrahydrofuranol 191 mg, which showed strong calpain inhibition activity (I C50 0.62 .mu.M).

IC ICM A61K031-335

ICS A61K031-34; A61K031-35; C07D305-08; C07D307-22; C07D309-14

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 12, 27

IT 167765-43-7P 201155-13-7DP, salts, solvates, or hydrates 201155-13-7P
 201155-17-1DP, salts, solvates, or hydrates 201155-17-1P 201155-19-3P
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201155-32-0DP, salts, solvates, or hydrates 201155-32-0P
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RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(cataract curative medicine)

IT **201155-32-0DP**, salts, solvates, or hydrates **201155-32-0P**
201155-44-4DP, salts, solvates, or hydrates **201155-44-4P**
201155-57-9DP, salts, solvates, or hydrates **201155-57-9P**
201155-58-0DP, salts, solvates, or hydrates **201155-58-0P**
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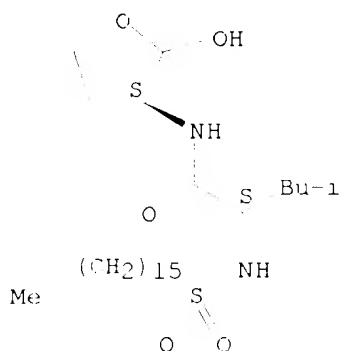
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(cataract curative medicine)

RN 201155-32-0 HCAPLUS

CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-
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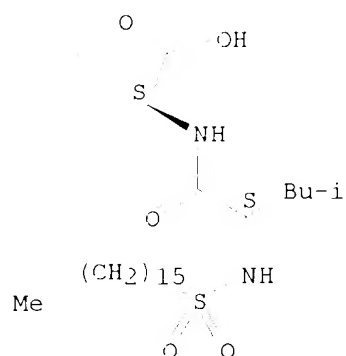
Absolute stereochemistry.



RN 201155-32-0 HCAPLUS

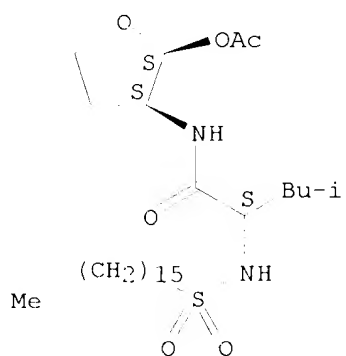
CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-
 hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



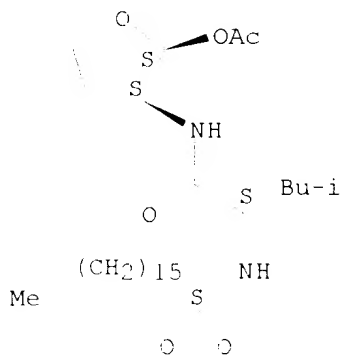
RN 201155-44-4 HCAPLUS
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-
 [(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-44-4 HCAPLUS
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-
 [(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

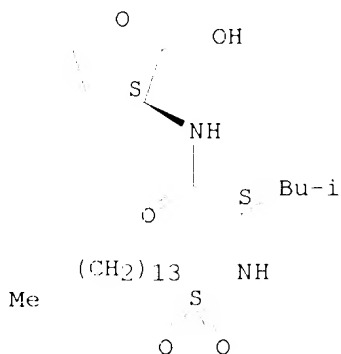
Absolute stereochemistry.



RN 201155-57-9 HCAPLUS

CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

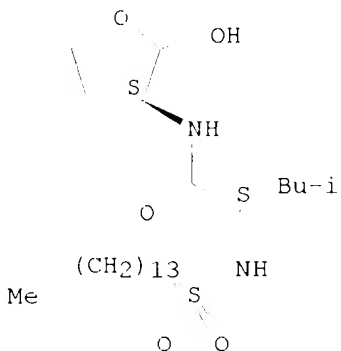
Absolute stereochemistry.



RN 201155-57-9 HCAPLUS

CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

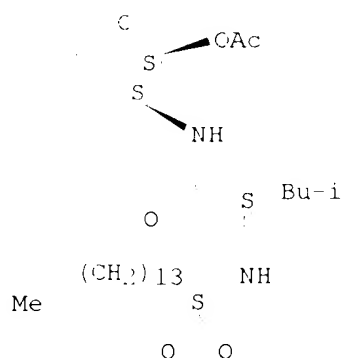
Absolute stereochemistry.



RN 201155-58-0 HCAPLUS

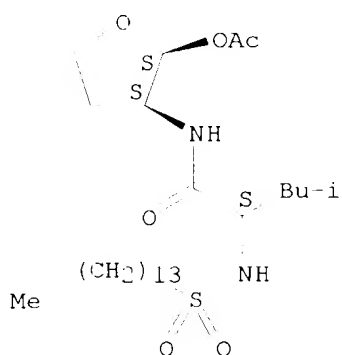
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



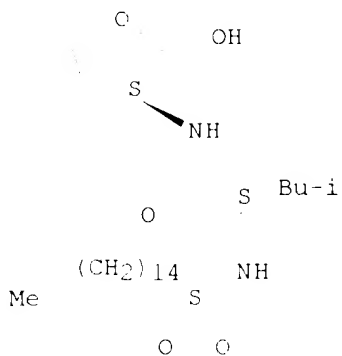
RN 201155-58-0 HCAPLUS
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-
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Absolute stereochemistry.



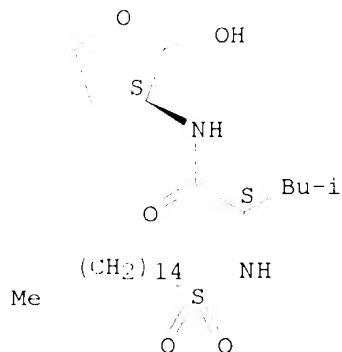
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 CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-
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Absolute stereochemistry.



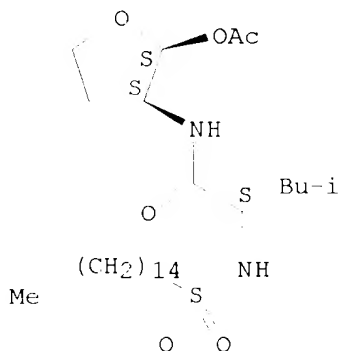
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 CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



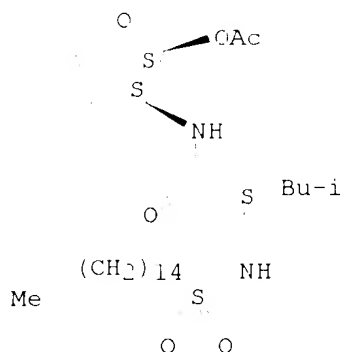
RN 201155-60-4 HCAPLUS
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-60-4 HCAPLUS
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:108078 HCAPLUS

DOCUMENT NUMBER: 128:226249

TITLE: Platelet aggregation inhibitors containing oxygen-heterocycles

INVENTOR(S): Yoshii, Shigehiko; Saito, Kenichi; Ando, Ryoichi

PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 71 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

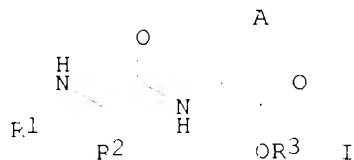
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10045584	A2	19980217	JP 1996-208530	19960807
PRIORITY APPLN. INFO.:			JP 1996-208530	19960807
OTHER SOURCE(S):		MARPAT 128:226249		

GI



AB The platelet aggregation inhibitors contain O-heterocycles I [R1 = COR4, COR4, SO2R4 [R4 = C1-20 alkyl which may be substituted with (un)substituted C6-14 aryl, C3-8 cycloalkyl, (un)substituted C6-14 aryl]; R3 = H, COR5 (R5 = C1-10 alkyl); A = C1-3 alkylene which may be substituted with C1-3 alkyl], their salts, solvates, or hydrates as active ingredients. The inhibitors are useful for prophylaxis and therapy of myocardial infarction, cerebral infarction, chronic arterial stenosis, etc. (2S,3S)-2-acetoxy-3-[(3S)-4-methyl-2-(2,4,6-trimethylphenylsulfonamino)valerylaminotetrahydrofuran (II) at 10 .mu.M showed 75% inhibition against platelet aggregation. LD50 of II was >2000

mg/kg p.o. in rats. Pharmaceutical formulations of I were also given.

IC ICM A61K031-34
ICS A61K031-335; A61K031-35; C07D305-08; C07D309-14; C07D307-22

CC 1-8 (Pharmacology)
Section cross-reference(s): 27, 63

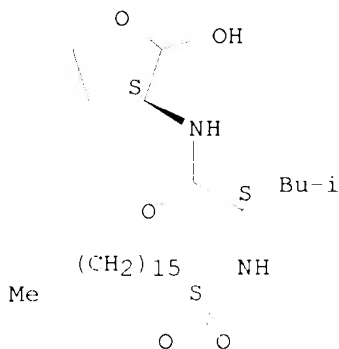
IT 167765-43-7P 201155-13-7P 201155-17-1P 201155-19-3P 201155-21-7P
201155-23-9P 201155-25-1P 201155-28-4P 201155-29-5P 201155-30-8P
201155-31-9P **201155-32-0P** 201155-33-1P 201155-34-2P
201155-35-3P 201155-36-4P 201155-37-5P 201155-39-7P 201155-40-0P
201155-41-1P 201155-42-2P **201155-44-4P** 201155-45-5P
201155-46-6P 201155-47-7P 201155-48-8P 201155-49-9P 201155-50-2P
201155-51-3P 201155-52-4P 201155-53-5P 201155-54-6P 201155-55-7P
201155-56-8P **201155-57-9P 201155-58-0P**
201155-59-1P 201155-60-4P 201155-67-1P 201157-12-2P
201157-68-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of O-heterocycle derivs. as platelet aggregation inhibitors)

IT **201155-32-0P 201155-44-4P 201155-57-9P**
201155-58-0P 201155-59-1P 201155-60-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of O-heterocycle derivs. as platelet aggregation inhibitors)

RN 201155-32-0 HCAPLUS

CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

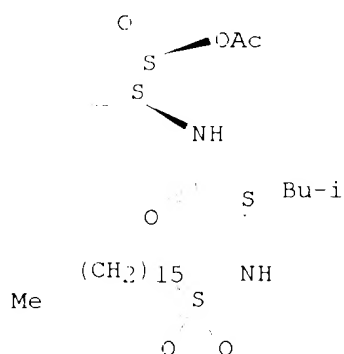
Absolute stereochemistry.



RN 201155-44-4 HCAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

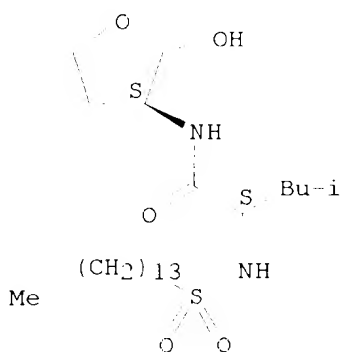
Absolute stereochemistry.



RN 201155-57-9 HCAPLUS

CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

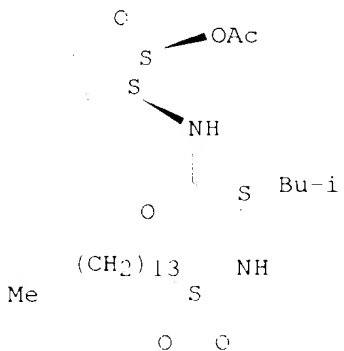
Absolute stereochemistry.



RN 201155-58-0 HCAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

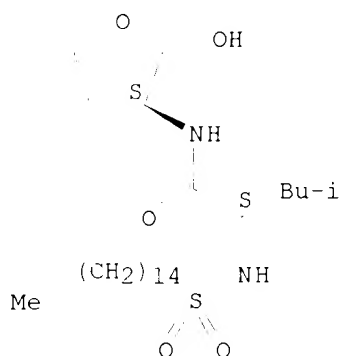
Absolute stereochemistry.



RN 201155-59-1 HCAPLUS

CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

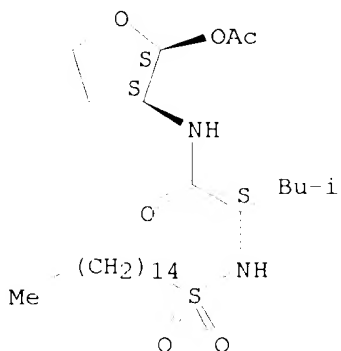
Absolute stereochemistry.



RN 201155-60-4 HCAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:65808 HCAPLUS

DOCUMENT NUMBER: 128:102004

TITLE: Preparation of hydroxytetrahydrofuran derivatives as remedies for ischemic diseases

INVENTOR(S): Yoshii, Narihiko; Saito, Ken-ichi; Kawasumi, Hisashi; Anabuki, Jun; Ando, Ryoichi

PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan; Yoshii, Narihiko; Saito, Ken-ichi; Kawasumi, Hisashi; Anabuki, Jun; Ando, Ryoichi

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

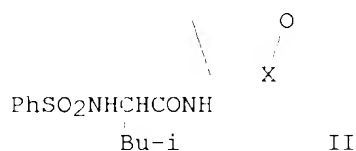
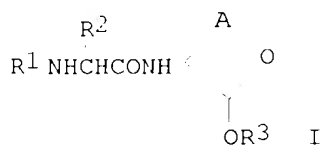
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801130	A1	19980115	WO 1997-JP2378	19970709
W: US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 10101558	A2	19980421	JP 1997-179756	19970704
EP 925786	A1	19990630	EP 1997-930735	19970709
R: DE, ES, FR, GB, IT				
PRIORITY APPLN. INFO.:			JP 1996-180783	19960710
			JP 1996-207011	19960806
			WO 1997-JP2378	19970709
OTHER SOURCE(S):		MARPAT 128:102004		
GI				



AB The title compds. [I; R1 = R4CO, R4OCO, R4SO2, etc.; R2 = alkyl; R3 = H, acyl; R4 = (un)substituted C1-20 alkyl or C6-14 aryl, etc.; A = alkylene] are prepd. I are efficacious in treating ischemic diseases, for example, ischemic brain diseases, cerebral stroke, cerebral thrombosis, cerebral embolism and myocardial infarction. Thus, compd. (II; X = CO) (prepn. given) was reduced by (Me2CHCH2)2AlH to give 46+ the title compd. II (X = CHOH), which showed IC50 of 0.62 .mu.M against calpain.

IC ICM A61K031-335

ICS A61K031-34; A61K031-35; C07D305-08; C07D307-22; C07D309-14

CC 27-6 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

IT 167765-43-7P 201155-13-7P 201155-17-1P 201155-19-3P 201155-21-7P
 201155-23-9P 201155-25-1P 201155-28-4P 201155-29-5P 201155-30-8P
 201155-31-9P **201155-32-0P** 201155-33-1P 201155-34-2P
 201155-35-3P 201155-36-4P 201155-37-5P 201155-38-6P 201155-39-7P
 201155-41-1P 201155-42-2P **201155-44-4P** 201155-45-5P
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 201155-51-3P 201155-53-5P 201155-54-6P 201155-55-7P

201155-57-9P 201155-58-0P 201155-59-1P

201155-60-4P 201155-67-1P 201157-09-7P 201157-10-0P

201157-11-1P 201157-12-2P 201157-68-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxytetrahydrofuran derivs. as remedies for ischemic diseases)

IT 201155-32-0P 201155-44-4P 201155-57-9P

201155-58-0P 201155-59-1P 201155-60-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

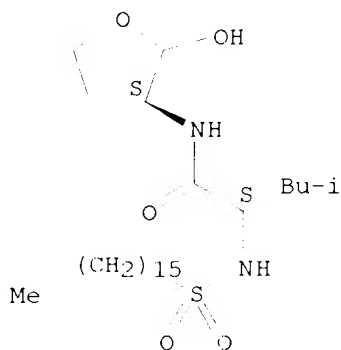
BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxytetrahydrofuran derivs. as remedies for ischemic diseases)

RN 201155-32-0 HCAPLUS

CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

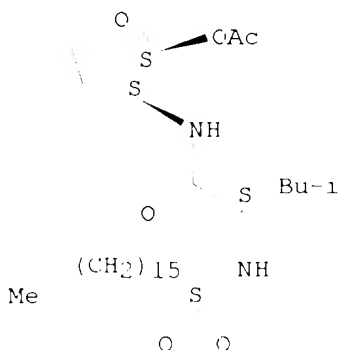
Absolute stereochemistry.



RN 201155-44-4 HCAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

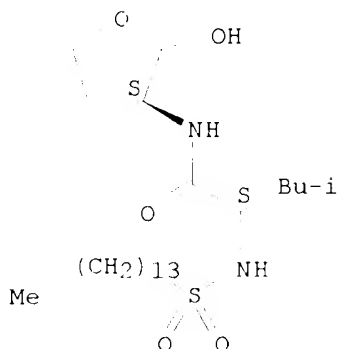
Absolute stereochemistry.



RN 201155-57-9 HCAPLUS

CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

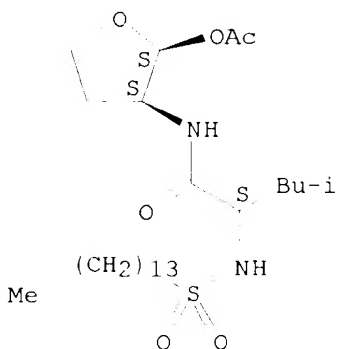
Absolute stereochemistry.



RN 201155-58-0 HCAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

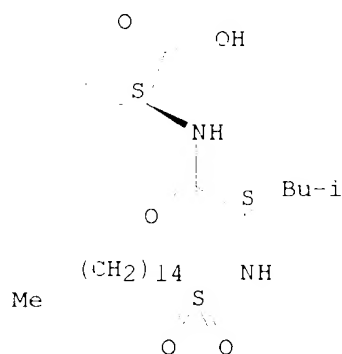
Absolute stereochemistry.



RN 201155-59-1 HCAPLUS

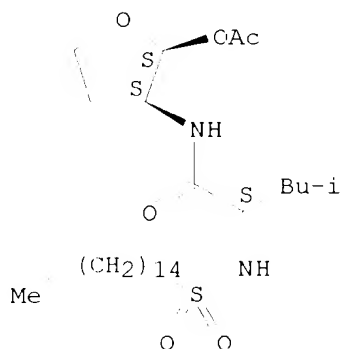
CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-60-4 HCAPLUS
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-
 [(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

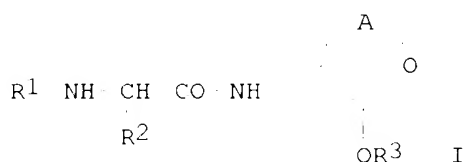


L9 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:65807 HCAPLUS
 DOCUMENT NUMBER: 128:102386
 TITLE: Preparation and formulation of amino acid derivatives
 for the prevention and treatment of neurodegenerative
 diseases
 INVENTOR(S): Yoshii, Narihiko; Saito, Ken-ichi; Ando, Ryoichi
 PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan; Yoshii, Narihiko;
 Saito, Ken-ichi; Ando, Ryoichi
 SOURCE: PCT Int. Appl., 118 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801129	A1	19980115	WO 1997-JP2377	19970709
W: US				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 JP 10101560 A2 19980421 JP 1997-179757 19970704
 PRIORITY APPLN. INFO.: JP 1996-180784 19960710
 JP 1996-200757 19960730
 JP 1996-200758 19960730
 JP 1996-207012 19960806

OTHER SOURCE(S): MARPAT 128:102386
 GI



AB The title compds. I [R1 represents R4CO, etc.; R4 represents alkyl, aryl or cycloalkyl; R2 represents alkyl; R3 represents hydrogen or acyl; and A represents alkylene] are prep'd. These drugs are efficacious in preventing or treating neurodegenerative diseases, for example, Alzheimer's disease, diseases caused by demyelination in nerve cells, such as multiple sclerosis and neuropathy, and disorders accompanying cephalic traumas, such as consciousness disorder and motility disorder.

(3S)-3-((S)-4-Methyl-2-phenylsulfonylaminovaleryl-amino)-2-tetrahydrofuranol in vitro showed IC50 of 0.62 .mu.M against calpain.

IC ICM A61K031-335

ICS A61K031-34; A61K031-35; C07D305-08; C07D307-22; C07D309-14

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

IT 167765-43-7P 201155-13-7P 201155-15-9P 201155-17-1P 201155-19-3P
 201155-21-7P 201155-23-9P 201155-25-1P 201155-28-4P 201155-29-5P
 201155-30-8P 201155-31-9P **201155-32-0P** 201155-33-1P
 201155-34-2P 201155-35-3P 201155-36-4P 201155-37-5P 201155-38-6P
 201155-39-7P 201155-40-0P 201155-41-1P 201155-42-2P 201155-43-3P
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 201155-53-5P 201155-54-6P 201155-55-7P 201155-56-8P
201155-57-9P 201155-58-0P 201155-59-1P
201155-60-4P 201155-67-1P

PL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid derivs. for prevention and treatment of neurodegenerative diseases)

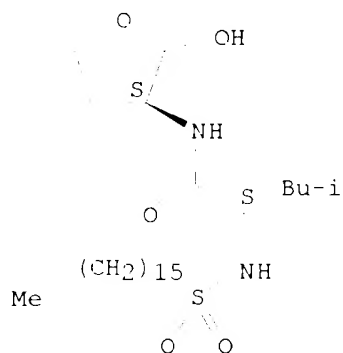
IT **201155-32-0P 201155-44-4P 201155-57-9P**
201155-58-0P 201155-59-1P 201155-60-4P

PL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid derivs. for prevention and treatment of neurodegenerative diseases)

RN 201155-32-0 HCAPLUS

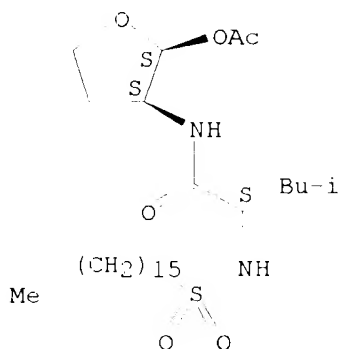
CN Pentanamide, 2-[(hexadecylsulfonyl)amino]-4-methyl-N-[(3S)-tetrahydro-2-hydroxy 3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



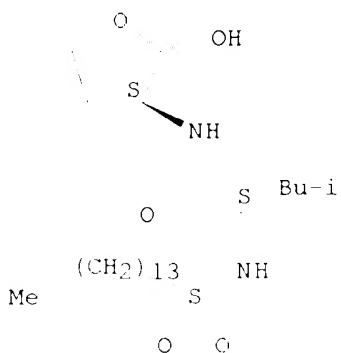
RN 201155-44-4 HCAPLUS
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-
 [(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-57-9 HCAPLUS
 CN Pentanamide, 4-methyl-2-[(tetradecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-
 hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

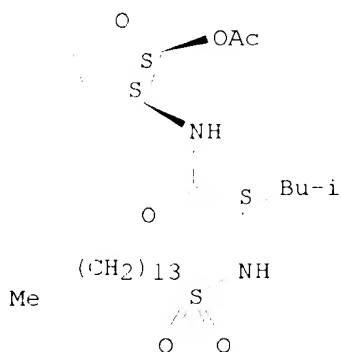
Absolute stereochemistry.



RN 201155-58-0 HCAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-
[(tetradecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

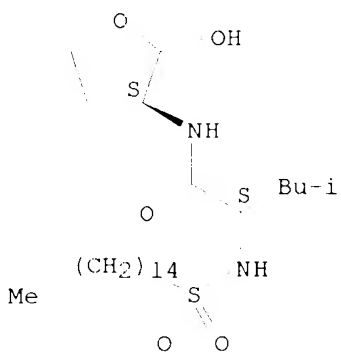
Absolute stereochemistry.



RN 201155-59-1 HCAPLUS

CN Pentanamide, 4-methyl-2-[(pentadecylsulfonyl)amino]-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

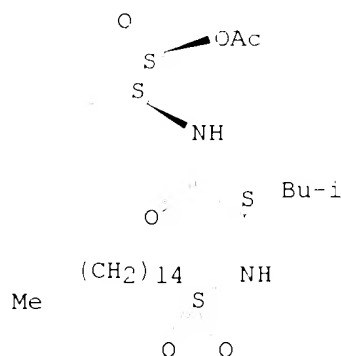
Absolute stereochemistry.



RN 201155-60-4 HCAPLUS

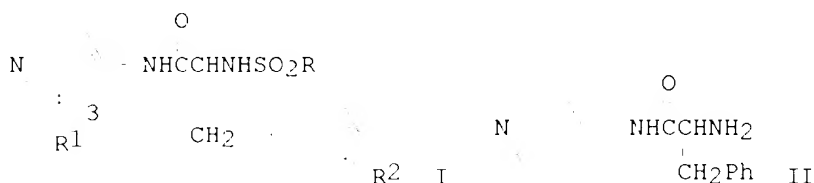
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-
[(pentadecylsulfonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:134290 HCAPLUS
 DOCUMENT NUMBER: 120:134290
 TITLE: Preparation of .alpha.-(sulfonylamino)-N-(4-pyridyl)benzenepropanamides and their pharmaceutical formulations as analgesics
 INVENTOR(S): Bru-Magniez, Nicole; Sartori, Eric; Teulon, Jean Marle
 PATENT ASSIGNEE(S): Laboratories Upsa, Fr.
 SOURCE: Fr. Demande, 28 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2683817	A1	19930521	FR 1991-14187	19911118
FR 2683817	B1	19940225		
PRIORITY APPLN. INFO.:			FR 1991-14187	19911118
OTHER SOURCE(S):			MARPAT 120:134290	
GI				

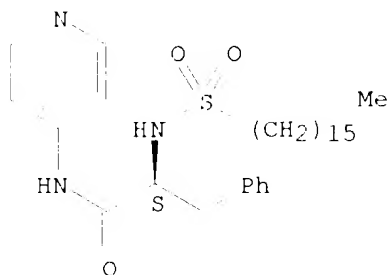


AB Title compds. racemic or (R)- or (S)-I [R = C1-18 alkyl, C3-7 cycloalkyl, haloalkyl, AE' (A = bond or C1-6 (un)satd. aliph. chain, R' = (substituted) Ph or naphthyl, (substituted) heteroaryl of 5-7 atoms contg. 1-3 heteroatoms (N, O, or S)); R1 = H, lower alkyl; R2 = H, halo] are prepd. Thus, sulfonylation of .alpha.-amino deriv. (S)-II (prepn. given) with MeSO2Cl in THF with added K2CO3 afforded (S)-I (R1 = R2 = H, R = Me). Compds. I are useful as analgesics. Thus, compd. (S)-I (R1 = 3-Me, R2 =

H, R = Me) was effective in inhibition of the torsion and stretching movement induced by phenylbenzoquinone in mice (ID50 = 2.8 mg kg⁻¹). Pharmaceutical formulations of compds. I are claimed.

IC ICM C07D213-06
ICS C07D401-12; A61K031-44
ICI C07D401-12, C07D213-06, C07D333-34
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
IT 152490-20-5P 152490-21-6P 152490-22-7P 152490-23-8P 152490-24-9P
152490-25-0P 152490-26-1P **152490-27-2P** 152490-28-3P
152490-29-4P 152490-30-7P 152490-31-8P 152490-32-9P 152490-33-0P
152490-34-1P 152490-35-2P 152490-36-3P 152490-37-4P 152490-38-5P
152490-39-6P 152490-40-9P 152490-41-0P 152490-42-1P 152490-43-2P
152490-44-3P 152490-45-4P 152490-46-5P 152490-47-6P 152490-48-7P
152490-49-8P 152611-64-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PPEP (Preparation); USES (Uses)
(prepn. of, as analgesic)
IT **152490-27-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PPEP (Preparation); USES (Uses)
(prepn. of, as analgesic)
RN 152490-27-2 HCAPLUS
CN Benzenepropanamide, .alpha.-[(hexadecylsulfonyl)amino]-N-4-pyridinyl-, (S)- (9CI) (CA INDEX NAME)

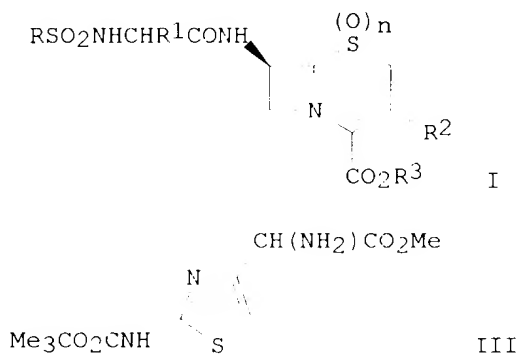
Absolute stereochemistry.



L9 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:209513 HCAPLUS
DOCUMENT NUMBER: 100:209513
TITLE: Cephalosporin derivatives and their pharmaceutical compositions
INVENTOR(S): Kocsis, Karoly; Wiederkehr, Rene; Wehrli, Hansuli
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 287 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 92830	A2	19831102	EP 1983-104037	19830425
EP 92830	A3	19841227		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
FI 8301381	A	19831028	FI 1983-1381	19830422
GB 2118942	A1	19831109	GB 1983-11222	19830425
GB 2118942	B2	19850724		
ES 521824	A1	19850501	ES 1983-521824	19830425
DK 8301853	A	19831028	DK 1983-1853	19830426
NO 8301470	A	19831028	NO 1983-1470	19830426
AU 8313951	A1	19831103	AU 1983-13951	19830426
HU 28778	O	19831228	HU 1983-1436	19830426
HU 188459	B	19860428		
DD 207720	A5	19840314	DD 1983-250223	19830426
ZA 8302918	A	19840829	ZA 1983-2918	19830426
JP 58194891	A2	19831112	JP 1983-73135	19830427
ES 535195	A1	19850801	ES 1984-535195	19840816
PRIORITY APPLN. INFO.:			CH 1982-2568	19820427
			CH 1982-6504	19821109
GI				



- AB Cephalosporins I [R = C-bonded org.; R1 = heterocyclic; R2 = H, (un)substituted alkyl, alkoxy, halogen; R3 = H, protective group; n = 0-2] were prep'd. Thus (2S)-I (R = Me, R1 = 2-amino-4-thiazolyl, R2 = H, R3 = Na, II) was prep'd. from thiazolylacetate III and benzhydryl 7-amino-3-cephem-4-carboxylate in 4 steps. II had a min. inhibitory concn. against Escherichia coli 205 of 0.02 .mu.g/mL.
- IC C07D501-20; A61K031-545; C07D277-48; C07D417-12; C07D285-08
- CC 26-5 (Biomolecules and Their Synthetic Analogs)
- Section cross-reference(s): 1, 63
- ST sulfonylaminoacetamidocephem prepn **bactericide**; cephem sulfonylaminoacetamido
- IT **Bactericides, Disinfectants, and Antiseptics**
(sulfonylaminoacetamido)cephems)
- IT 89336-05-0P 89336-10-7P 89336-12-9P 89336-14-1P 89336-18-5P
89336-19-6P 89336-22-1P 89336-26-5P 89336-27-6P 89336-29-8P
89336-32-3P 89336-37-8P 89336-40-3P 89336-42-5P 89336-44-7P
89336-48-1P 89336-51-6P 89336-54-9P 89336-57-2P 89336-60-7P
89336-63-0P 89336-71-0P 89336-74-3P 89336-75-4P 89336-80-1P

89335-87-8P	89336-91-4P	89347-45-5P	89347-57-9P	89347-60-4P
89347-66-0P	89347-86-4P	89347-90-0P	89347-92-2P	89347-97-7P
89347-99-9P	89348-28-7P	89348-46-9P	89348-61-3P	89348-63-0P
89348-66-3P	89350-36-7P	89350-37-8P	89350-88-9P	89350-89-0P
89350-90-3P	89350-91-4P	89350-92-5P	89350-93-6P	89350-94-7P
89350-95-8P	89350-96-9P	89350-97-0P	89350-98-1P	89350-99-2P
89351-00-8P	89351-01-9P	89351-02-0P	89351-03-1P	89351-04-2P
89351-05-3P	89351-06-4P	89351-07-5P	89351-08-6P	89351-09-7P
89351-10-0P	89351-11-1P	89351-12-2P	89351-13-3P	89351-14-4P
89351-15-5P	89351-16-6P	89351-17-7P	89351-18-8P	89351-19-9P
89351-20-2P	89351-21-3P	89351-22-4P	89351-23-5P	89351-24-6P
89351-25-7P	89351-26-8P	89351-30-4P	89351-31-5P	89395-59-5P
89395-95-9P	89396-08-7P	89396-09-8P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and **bactericidal** activity of)

IT	89335-72-8P	89335-86-4P	89335-88-6P	89335-94-4P	89335-97-7P
	89336-00-5P	89336-02-7P	89336-03-8P	89336-04-9P	89336-09-4P
	89336-11-8P	89336-13-0P	89336-16-3P	89336-21-0P	89336-25-4P
	89336-28-7P	89336-31-2P	89336-33-4P	89336-36-7P	89336-39-0P
	89336-41-4P	89336-43-6P	89336-47-0P	89336-50-5P	89336-53-8P
	89336-55-0P	89336-59-4P	89336-62-9P	89336-64-1P	89336-67-4P
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	89336-89-0P	89336-90-3P	89347-30-8P	89347-31-9P	89347-34-2P
	89347-37-5P	89347-39-7P	89347-41-1P	89347-44-4P	89347-47-7P
	89347-51-3P	89347-54-6P	89347-56-8P	89347-59-1P	89347-62-6P
	89347-65-9P	89347-68-2P	89347-70-6P	89347-72-8P	
	89347-75-1P	89347-78-4P	89347-81-9P	89347-85-3P	89347-87-5P
	89347-89-7P	89347-91-1P	89347-93-3P	89347-96-6P	89347-98-8P
	89348-00-5P	89348-03-8P	89348-05-0P	89348-08-3P	89348-09-4P
	89348-12-9P	89348-13-0P	89348-16-3P	89348-21-0P	89348-24-3P
	89348-27-6P	89348-30-1P	89348-32-3P	89348-36-7P	89348-39-0P
	89348-48-1P	89348-50-5P	89348-55-0P	89348-58-3P	89348-60-7P
	89348-62-9P	89348-64-1P	89348-65-2P	89348-67-4P	89348-69-6P
	89348-71-0P	89348-74-3P	89348-76-5P	89348-78-7P	89348-81-2P
	89348-83-4P	89348-85-6P	89348-88-9P	89348-90-3P	89348-93-6P
	89348-96-9P	89348-98-1P	89349-00-8P	89349-03-1P	89349-05-3P
	89349-08-6P	89349-10-0P	89349-12-2P	89349-14-4P	89349-17-7P
	89349-19-9P	89349-21-3P	89349-24-6P	89349-26-8P	89349-28-0P
	89349-30-4P	89349-36-0P	89349-43-9P	89363-49-5P	89365-52-6P
	89365-53-7P	89365-54-8P	89395-56-2P	89395-58-4P	89395-62-0P
	89395-93-7P	89395-94-8P	89395-96-0P	89395-98-2P	89396-00-9P
	89396-01-0P	89396-04-3P	89396-06-5P	89396-44-1P	89453-46-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of)

IT	89335-80-8P	89335-87-5P	89335-89-7P	89335-95-5P	89335-98-8P
	89336-20-9P	89336-34-5P	89336-65-2P	89336-68-5P	89347-32-0P
	89347-33-1P	89347-35-3P	89347-38-6P	89347-40-0P	89347-42-2P
	89347-50-2P	89347-52-4P	89347-55-7P	89347-63-7P	89347-69-3P
	89347-73-9P	89347-76-2P	89347-79-5P	89347-82-0P	89347-88-6P
	89347-95-5P	89348-02-7P	89348-04-9P	89348-06-1P	89348-10-7P
	89348-14-1P	89348-17-4P	89348-20-7P	89348-26-5P	89348-29-8P
	89348-34-5P	89348-35-6P	89348-38-9P	89348-40-3P	89348-41-4P
	89348-42-5P	89348-45-8P	89348-47-0P	89348-49-3P	89348-51-6P
	89348-54-9P	89348-57-2P	89348-59-4P	89348-68-5P	89348-70-9P
	89348-72-1P	89348-75-4P	89348-77-6P	89348-79-8P	89348-82-3P

89348-84-5P	89348-86-7P	89348-89-0P	89348-91-4P	89348-94-7P
89348-97-0P	89348-99-2P	89349-01-9P	89349-02-0P	89349-04-2P
89349-06-4P	89349-09-7P	89349-11-1P	89349-13-3P	89349-16-6P
89349-18-8P	89349-20-2P	89349-22-4P	89349-27-9P	89349-29-1P
89349-31-5P	89349-33-7P	89349-37-1P	89349-39-3P	89349-41-7P
89349-44-0P	89349-45-1P	89349-46-2P	89349-47-3P	89349-48-4P
89349-49-5P	89349-50-8P	89349-51-9P	89349-52-0P	89349-53-1P
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89349-59-7P	89349-60-0P	89349-61-1P	89349-62-2P	89349-63-3P
89349-64-4P	89349-65-5P	89349-66-6P	89349-67-7P	89349-68-8P
89349-69-9P	89349-70-2P	89349-71-3P	89349-72-4P	89349-73-5P
89349-74-6P	89349-75-7P	89349-76-8P	89349-77-9P	89349-78-0P
89349-79-1P	89349-80-4P	89349-81-5P	89349-82-6P	89349-83-7P
89349-84-8P	89349-85-9P	89349-86-0P	89349-87-1P	89349-88-2P
89349-89-3P	89349-90-6P	89349-91-7P	89349-92-8P	89349-93-9P
89349-94-0P	89349-95-1P	89349-96-2P	89349-97-3P	89349-98-4P
89349-99-5P	89350-00-5P	89350-01-6P	89350-02-7P	89350-03-8P
89350-04-9P	89350-05-0P	89350-06-1P	89350-07-2P	89350-08-3P
89350-09-4P	89350-10-7P	89350-11-8P	89350-12-9P	89350-13-0P
89350-14-1P	89350-15-2P	89350-16-3P	89350-17-4P	89350-18-5P
89350-19-6P	89350-20-9P	89350-21-0P	89350-22-1P	89350-23-2P
89350-24-3P	89350-25-4P	89350-26-5P	89350-27-6P	89350-28-7P
89350-29-8P	89350-30-1P	89350-31-2P	89350-32-3P	89350-33-4P
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89350-59-4P	89350-60-7P	89350-61-8P	89350-62-9P	89350-63-0P
89350-64-1P	89350-65-2P	89350-66-3P	89350-67-4P	89350-68-5P
89350-69-6P	89350-70-9P	89350-71-0P	89350-72-1P	89350-73-2P
89350-74-3P	89350-75-4P	89350-76-5P	89350-77-6P	89350-78-7P
89350-79-8P	89350-80-1P	89350-81-2P	89350-82-3P	89350-83-4P
89350-84-5P	89350-85-6P	89351-27-9P	89351-29-1P	
89365-55-9P	89395-57-3P	89395-61-9P	89395-63-1P	89395-97-1P
89395-99-3P	89396-02-1P	89396-03-2P	89396-05-4P	89396-07-6P
89396-43-0P	89453-47-4P			

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 89348-01-6P 89348-33-4P 89348-37-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn., esterification, and **bactericidal** activity of)

IT 89347-48-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn., reaction with heterocyclic thiols, and **bactericidal**
activity of)

IT **89347-70-6P**

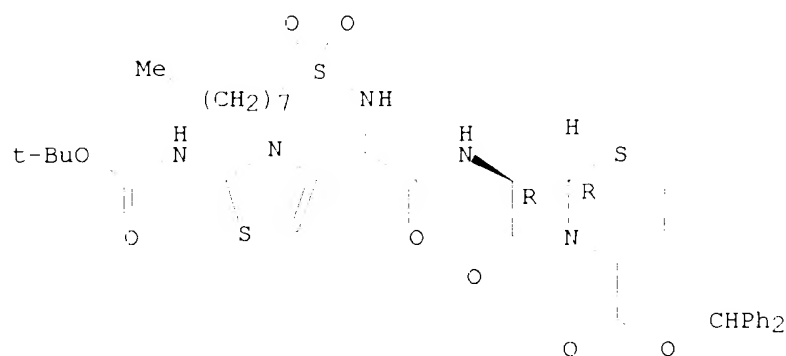
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. and hydrolysis of)

RN 89347-70-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[2-[[[1,1-dimethylethoxy)carbonyl]amino]-4-
thiazolyl][(octylsulfonyl)amino]acetyl]amino]-8-oxo-, diphenylmethyl
ester, [6F-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



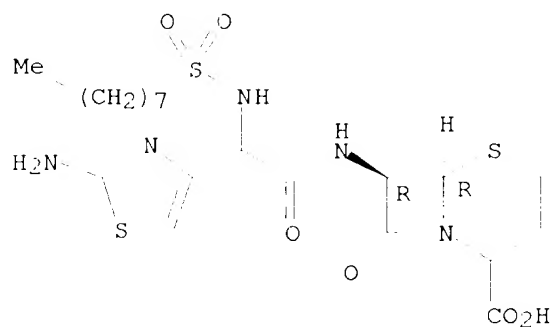
IT 89351-29-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 89351-29-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)[(octylsulfonyl)amino]acetyl]amino]-8-oxo-,
monosodium salt, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L9 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:4797 HCAPLUS

DOCUMENT NUMBER: 98:4797

TITLE: Polypeptides and their use as drugs

INVENTOR(S): Bauer, Wilfried; Pless, Janos

PATENT ASSIGNEE(S): Sandoz A.-G., Switz.

SOURCE: Belg., 27 pp.

CODEN: BEXXAL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 892315	A1	19820901	BE 1982-10440	19820301
CH 647246	A	19850115	CH 1981-1531	19810306
DK 8200810	A	19820907	DK 1982-810	19820224
FI 8200689	A	19820907	FI 1982-689	19820226
FR 2501199	A1	19820910	FR 1982-3475	19820301
FR 2501199	B1	19860221		
DE 3207311	A1	19821202	DE 1982-3207311	19820301
GB 2095261	A	19820929	GB 1982-6136	19820302
GB 2095261	B2	19840815		
NL 8200828	A	19821001	NL 1982-828	19820302
US 4435385	A	19840306	US 1982-353900	19820302
SE 8201339	A	19820907	SE 1982-1339	19820304
CA 1188682	A1	19850611	CA 1982-397561	19820304
IL 65167	A1	19850630	IL 1982-65167	19820304
AU 8281164	A1	19820909	AU 1982-81164	19820305
JP 57158745	A2	19820930	JP 1982-35698	19820305
JP 03063559	B4	19911001		
ES 510167	A1	19831016	ES 1982-510167	19820305
ZA 8201491	A	19831026	ZA 1982-1491	19820305
HU 28423	O	19831228	HU 1982-690	19820305
ES 522916	A1	19850301	ES 1983-522916	19830601

PRIORITY APPLN. INFO.:

CH 1981-1531 19810306
CH 1981-5723 19810904

GI For diagram(s), see printed CA Issue.

AB Peptides RR1NCHR2CONHCH(CH2SR4)CO-Phe-Trp-Lys-X-NHCHR3CH2SR5 [R = inorg. or org. acyl group, R1 = H, alkyl, NCHR2CO moiety = L- or D-Phe (optionally ring substituted by halo, NO2, OH, alkyl, alkoxy); Phe, Trp (D or L) may be ring substituted by NO2, NH2, OH, alkyl, alkoxy; Lys may be .alpha.-N-methylated and .epsilon.-N-alkylated; X = D- or L-.alpha.-amino acid residue optionally .alpha.-N-methylated; R3 = CO2H, CH2OH, carbamoyl, P4 = R5 = H, R4R5 = bond] were prepd. and they control the secretion of somatotropin and inhibit gastric and pancreatic secretion (no data). I was prepd. by deprotection-oxidn. of Me(CH2)8CO-D-Phe-Cys(MBzl)-Phe-D-Trp-Lys(Z)-Thr-Cys(MBzl)-Thr-ol (MBzl = p-MeOC6H4CH2, Z = PhCH2O2C), which was prepd. by peptide coupling in soln.

ICI A61

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 63

IT 83795-60-2P 83795-62-4P 83795-64-6P 83795-66-8P 83795-68-0P
83795-70-4P 83795-72-6P 83795-74-8P 83795-76-0P 83795-78-2P
83795-80-6P 83795-82-8P **83795-84-0P** 83795-86-2P
83795-88-4P 83795-90-8P 83795-92-0P 83795-98-6P 83805-40-7P
83805-42-9P

FL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT **83795-84-0P**

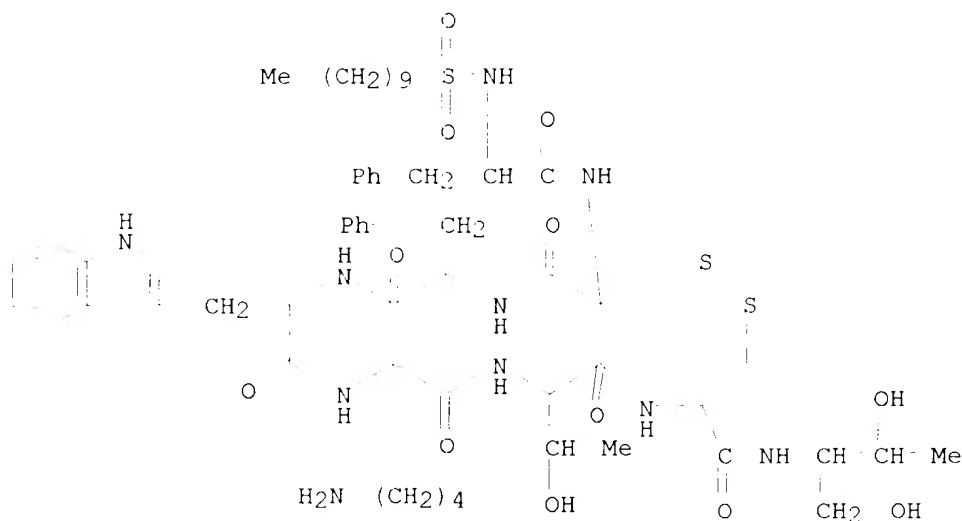
FL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 83795-84-0 HCAPLUS

CN L-Cysteinamide, N-(decylsulfonyl)-D-phenylalanyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-N-[2-hydroxy-1-(hydroxymethyl)propyl]-, cyclic (2.fwdarw.7)-disulfide, [R-(R*,R*)]-, acetate (salt) (9CI) (CA INDEX NAME)

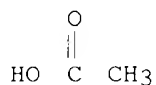
CM 1

CRN 83795-83-9
CMF C59 H86 N10 O12 S3



CM 2

CRN 64-19-7
CMF C2 H4 O2

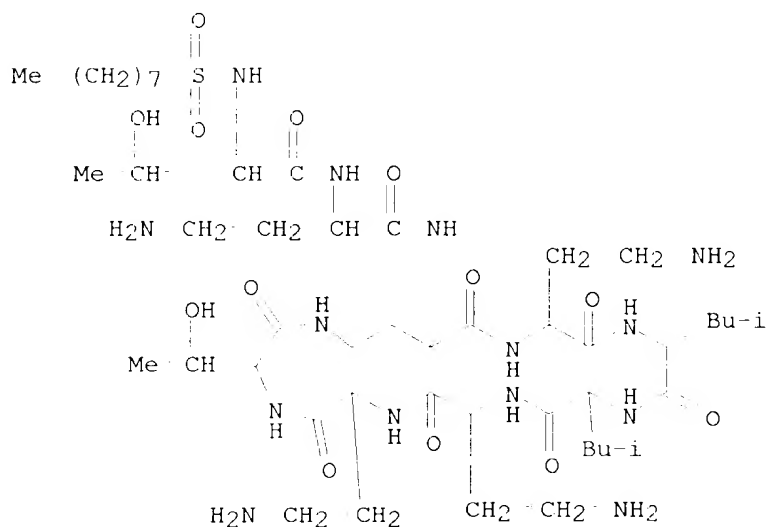


L9 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:148248 HCAPLUS
DOCUMENT NUMBER: 78:148248
TITLE: Colistin nonapeptide sulfonic acid derivatives
INVENTOR(S): Chihara, Shiro; Ito, Akira; Yahata, Masahiro; Tobita, Takashi
PATENT ASSIGNEE(S): Kayaku Antibiotics Research Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 47051357	B4	19721223	JP 1970-127602	19701228

AE The title derivs. (I, Dak = .alpha.,.gamma.-diaminobutyric acid) were manufd. by treating colistin nonapeptide (II) with the corresponding sulfonyl chlorides at pH 4-7. I have antibacterial activity. Thus, 220 mg II was reacted in 100 ml 0.2M H3PO4 buffer with 1.6 g $\text{CH}_3(\text{CH}_2)_7\text{SO}_2\text{Cl}$

for 7 hr at 40.degree. to give 80 mg I (R = CH₃ (CH₂)₇). I (R =
 .beta.-naphthyl, p-EtC₆H₅, Ph, p-NO₂-C₆H₅ and PhCH₂) were similarly manufd.
 IC C07C; A61K
 CC 34-3 (Synthesis of Amino Acids, Peptides, and Proteins)
 ST colistin nonapeptide sulfonate derivs; **bactericide** colistin
 deriv; peptide colistin deriv
 IT **40944-58-9P** 40944-59-0P 40944-60-3P 40944-61-4P
 40944-62-5P 40944-63-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 IT **40944-58-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 40944-58-9 HCAPLUS
 CN Polymyxin E1, 1-de[N²-(6-methyl-1-oxooctyl)-L-2,4-diaminobutanoic
 acid]-2-[N-(octylsulfonyl)-L-threonine]- (9CI) (CA INDEX NAME)



L9 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1972:552576 HCAPLUS
 DOCUMENT NUMBER: 77:152576
 TITLE: **Antibacterial** polymyxin derivatives
 INVENTOR(S): Bouchaudon, Jean; Jolles, Georges
 PATENT ASSIGNEE(S): Rhone-Poulenc S. A.
 SOURCE: Ger. Offen., 47 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2204887	A	19720921	DE 1972-2204887	19720202
FR 2124060	A5	19720922	FR 1971-3429	19710202
FR 2124060	B1	19740412		

NL 7200997	A	19720804	NL 1972-997	19720125
US 3817973	A	19740618	US 1972-222296	19720131
BE 778796	A1	19720801	BE 1972-113486	19720201
CH 542820	A	19731130	CH 1972-1430	19720201
GB 1378025	A	19741218	GB 1972-4730	19720201
CA 981661	A1	19760113	CA 1972-133715	19720201
JP 54000919	B4	19790118	JP 1972-11455	19720202

PRIORITY APPLN. INFO.:

FR 1971-3429

19710102

GI For diagram(s), see printed CA Issue.

AB Sixteen polymyxin derivs. (I; R = Me2CH(CH2)5CO, n-C7H15CO, etc.; Dab = L-.alpha., .gamma.-diaminobutyryl), useful as antibiotics, were prepd. by coupling the azide of the corresponding R-Dab(Cbz)-Thr-Dab(Cbz)-NHNH2 (II) to blocked colistamine III (R1 = N-oxo-3-pyridylmethyloxycarbonyl), followed by catalytic hydrogenation. BOC-Dab(Cbz)-OH was attached to a resin and then coupled sequentially with BOC-Thr-OH, BOC-Dab(Cbz)-OH, and ROH using dicyclo-hexylcarbodiimide to give the peptide-resin, which was treated with N2H4 to yield II. BOC groups were cleaved after each coupling step by HCl-HOAc. 3-Hydroxymethylpyridine (IV) reacted with p-O2NC6H4CO2OH to give IV N-oxide, which was treated with p-O2NC6H4O2CCl to give p-O2NC6H4OR1. The latter reacted with colistin to give penta-N-[N-oxo-3-pyridylmethyl-oxycarbonyl]colistin, which was treated with the proteinase from Bacillus subtilis to give III.

IC C07C; A61K

CC 34-3 (Synthesis of Amino Acids, Peptides, and Proteins)

ST polymyxin peptide **antibiotics**; colistin peptide

IT 6968-72-5P 11074-97-8P 32919-27-0P 32939-32-5P 32991-08-5P
 34233-38-0P 34233-39-1P 38486-64-5P 38486-65-6P 38486-66-7P
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 38548-91-3P 38855-35-5P 38855-36-6P 38855-37-7P 39022-86-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

IT **38495-43-1P 38495-44-2P 38543-33-8P**

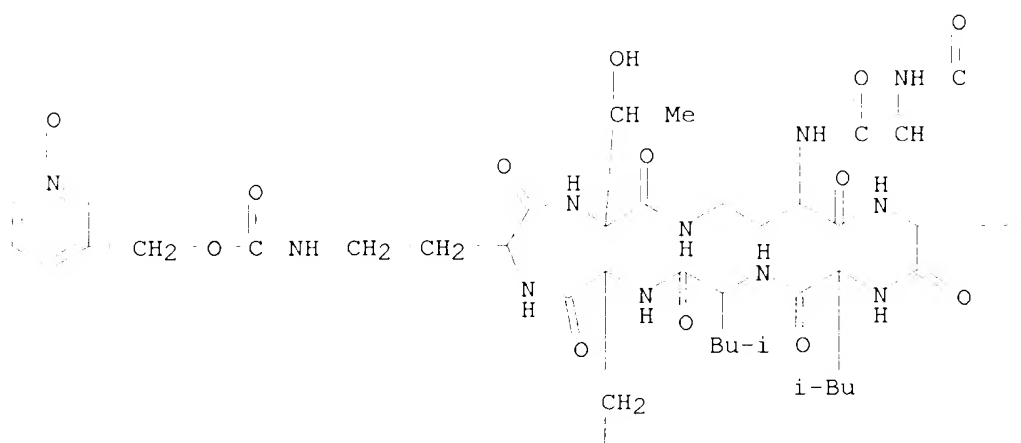
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

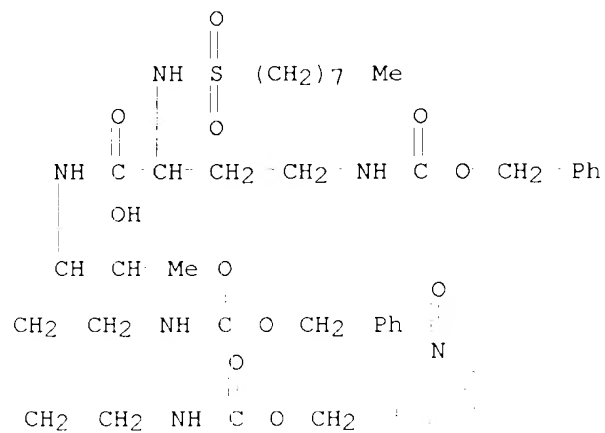
RN 38495-43-1 HCAPLUS

CN L-Threonine, N2-(octylsulfonyl)-N4-[(phenylmethoxy)carbonyl]-L-2,4-diaminobutanoyl-L-threonyl-N4-[(phenylmethoxy)carbonyl]-L-2,4-diaminobutanoyl-L-2,4-diaminobutanoyl-N4-[[[(1-oxido-3-pyridinyl)methoxy]carbonyl]-L-2,4-diaminobutanoyl-D-leucyl-L-leucyl-N4-[[[(1-oxido-3-pyridinyl)methoxy]carbonyl]-L-2,4-diaminobutanoyl-N4-[[[(1-oxido-3-pyridinyl)methoxy]carbonyl]-L-2,4-diaminobutanoyl-, cyclic (10.fwdarw.4)-peptide (9CI) (CA INDEX NAME)

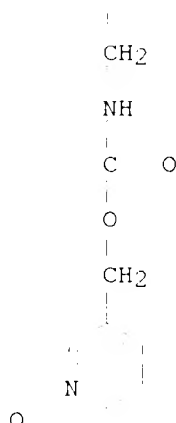
PAGE 1-A



PAGE 1-B

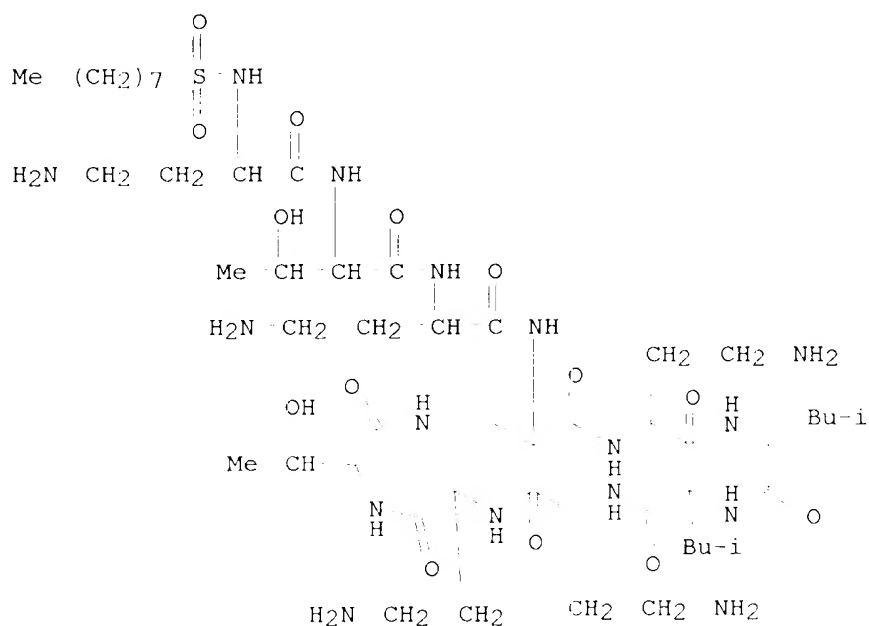


PAGE 2-A



RN	38495-44-2	HCAPLUS
CN	Polymyxin E1, 1-[N2-(octylsulfonyl)-L-2,4-diaminobutanoic acid]-, pentahydrochloride (9CI) (CA INDEX NAME)	

PAGE 1-A



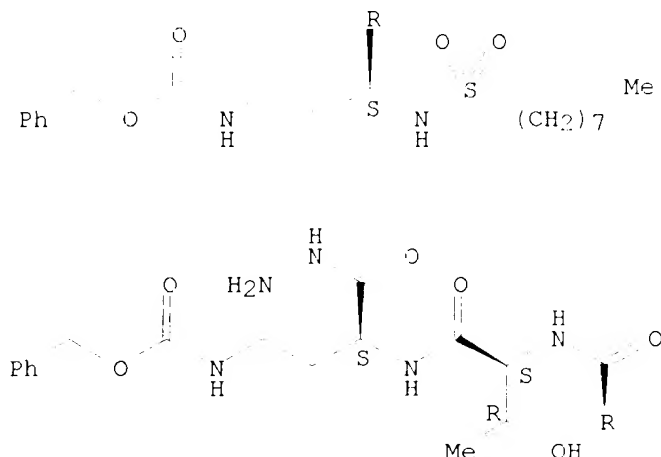
PAGE 2-A

● 5 HCl

RN 38543-33-8 HCAPLUS

CN Butanoic acid, N2-(octylsulfonyl)-N4-[(phenylmethoxy)carbonyl]-L-2,4-diaminobutanoyl-L-threonyl-N4-[(phenylmethoxy)carbonyl]-L-2,4-diamino-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:240062 HCAPLUS

DOCUMENT NUMBER: 136:395375

TITLE: In vitro antiplasmodium effects of dermaseptin S4 derivatives

AUTHOR(S): Dagan, Arie; Efron, Leah; Gaidukov, Leonid; Mor, Amram; Ginsburg, Hagai

CORPORATE SOURCE: Institute of Life Sciences, The Hebrew University of Jerusalem, Jerusalem, 91904, Israel

SOURCE: Antimicrobial Agents and Chemotherapy (2002), 46(4), 1059-1066

CODEN: AMACQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The 13-residue dermaseptin S4 deriv. K4S4(1-13)a (P) was previously shown to kill intraerythrocytic malaria parasites through the lysis of the host cells. In this study, we have sought peptides that will kill the parasite without lysing the erythrocyte. To produce such peptides, 26 compds. of variable structure and size were attached to the N terminus of P and screened for antiplasmodium and hemolytic activities in cultures of Plasmodium falciparum. Results from this screen indicated that increased hydrophobicity results in amplified antiplasmodium effect, irresp. of the linearity or bulkiness of the additive. However, increased hydrophobicity also was generally assocd. with increased hemolysis, with the exception of two derivs.: propionyl-P (C3-P) and isobutyryl-P (iC4-P). Both acyl-peptides were more effective than P, with 50% growth inhibition at 3.9, 4.3, and 7.7 .mu.M, resp. The antiparasitic effect was time dependent and totally irreversible, implying a cytotoxic effect. The

peptides were also investigated in parallel for their ability to inhibit parasite growth and to induce hemolysis in infected and uninfected erythrocytes. Whereas the dose dependence of growth inhibition and hemolysis of infected cells overlapped when cells were treated with P, the acyl-peptides exerted 50% growth inhibition at concns. that did not cause hemolysis. Noticeably, the acyl derivs., but not P, were able to dissipate the parasite plasma membrane potential and cause depletion of intraparasite potassium under nonhemolytic conditions. These results clearly demonstrate that the acyl-peptides can affect parasite viability in a manner that is dissocd. from lysis of the host cell. Overall, the data indicate the potential usefulness of this strategy for development of selective peptides as investigative tools and eventually as antimalarial agents.

CC 1-5 (Pharmacology)

IT 428873-12-5P 428873-14-7P 428873-16-9P 428873-17-0P 428873-18-1P
 428873-19-2P **428873-20-5P** 428873-21-6P 428873-22-7P
 428873-23-8P 428873-24-9P 428873-25-0P 428873-26-1P 428873-27-2P
 428873-28-3P 428873-29-4P 428873-30-7P 428873-31-8P 428873-32-9P
 428873-33-0P 428873-34-1P 428873-35-2P 428873-36-3P 428873-37-4P
 428873-38-5P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(in vitro antiplasmodium effects of dermaseptin S4 derivs.)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:719012 HCAPLUS

DOCUMENT NUMBER: 135:280431

TITLE: Photographic element and compound and process useful therewith

INVENTOR(S): Romanet, Robert F.; Vreeland, William B.; Harder, John W.; Brown, Christopher T.; Conley, Scott R.; Youngblood, Michael P.

PATENT ASSIGNEE(S): Eastman Kodak Company, USA

SOURCE: U.S., 52 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6296997	B1	200111002	US 2000-707586	20001107
EP 1205796	A2	20020515	EP 2001-204126	20011029
EP 1205796	A3	20021211		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2002162718 A2 20020607 JP 2001-342355 20011107

PRIORITY APPLN. INFO.: US 2000-707586 A 20001107

OTHER SOURCE(S): MAFPAT 135:280431

GI

Y_mBA-(CR¹R²)_p NHCO CR²R²ONH X W_n Z I

AB The invention describes a silver halide photog. element contg. a dye-forming bicyclic azole coupler having a phenoxy substituent contg. an ortho substituent for better color rendition. The photog. element comprises a light-sensitive Ag halide emulsion layer having assocd. therewith a bicyclic azole dye-forming coupler compd. (I) where BA = a bicyclic azole coupler nucleus with -(C(R¹)(R²))P- bonded to a ring C in a non-coupling position of the coupler nucleus; p is 1 or 2, and each R¹ and R² is independently selected from H and a substituent group, provided that any 2 of R¹ and R² may join to form a ring; R_a and R_b are each independently selected from H and a substituent group, provided that substituent groups may join to form a ring; each Y is an independently selected substituent and m is 0-4; X is selected from the group consisting of -C(O)-, -S(O)₂-, -S(O)-, and -P(O)(OH)-; W is a connecting group having a chain of up to 4 atoms between X and Z, and n = 0 or 1; and (a) when n = 0, Z is -NHR₅ where R₅ is H or a substituent, and (b) when n = 1, Z is selected from -OH, -SO₂NHR₅, and -NHR₆ where R₅ is H or a substituent group and R₆ is a substituent bonded to -NH- by an electron withdrawing group in R₆; provided that the ClogP value of the coupler compd. is at least 5.0. The element provides improved color rendition.

IC ICM G03C001-08

ICS G03C007-26; G03C007-32

NCL 430558000

CC 74-2 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)

IT **360051-08-7 363595-58-8** 363595-59-9 363595-60-2
 363595-61-3 363595-62-4 363595-63-5 363595-64-6 363595-65-7
 363595-66-8 363595-67-9 363595-68-0 363595-69-1 363595-70-4
 363595-71-5 363595-72-6 **363595-73-7** 363595-74-8
 363595-75-9 363595-76-0 363595-77-1 **363595-78-2**
 363595-79-3 **363595-80-6** 363595-81-7 363595-82-8
 363595-84-0 363595-86-2 363595-87-3 363595-88-4 363595-89-5
 363595-90-8 363595-91-9 363595-92-0 363595-93-1 363595-94-2
 363595-95-3

RL: NUU (Other use, unclassified); TEM (Technical or engineered material use); USES (Uses)

(photog. element contg. dye-forming bicyclic azo coupler for better color reprodn.)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:687350 HCAPLUS

DOCUMENT NUMBER: 135:249384

TITLE: Photographic element having improved dye stability, compound, and imaging process

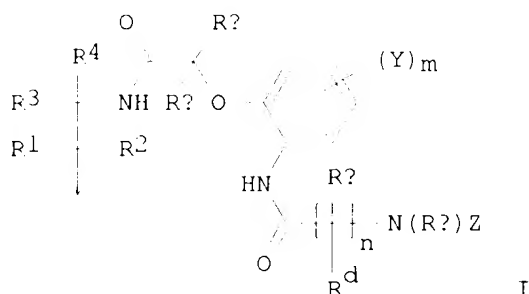
INVENTOR(S): Burns, Paul A.; Romanet, Robert F.; Fischer, Susan M.; Lincoln, David G.; Spara, Paul P.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA
 SOURCE: U.S., 21 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5291152	B1	20010918	US 2000-707636	20001107
EP 1205795	A1	20020515	EP 2001-204100	20011026

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2000-707636 A 20001107
 OTHER SOURCE(S): MARPAT 135:249384
 GI



AB Disclosed is a photog. element comprising a light-sensitive silver halide emulsion layer having assocd. therewith a bicyclic azole dye-forming coupler, having appended to a ring carbon at a non-coupling position thereof a substituent group represented by the formula I (arrow represents point of attachment of substituent group to non-coupling position of coupler; R1, R2, R3, R4 = H, substituent; any two of R1, R2, R3 and R4 may join to form ring; Ra, Rb = H, substituent; Rc, Rd = H, alkyl, aryl; any two of Rc and Rd may join to form ring; n = 1-10; Re = H, alkyl, aryl; Y = substituent; m = 0-4; Z = -C(O)R5, -S(O)2R5, -SOR5, -P(:O)(R6)2, -P(O)(OR6)2; R5 = alkyl, aryl, heterocyclic, alkoxy, aryloxy, alkylamino, arylamino; R6 = alkyl, aryl; Z can form ring with any one of Rc and Rd). Such an element provides improved image dye stability.

IC ICM G03C001-08

ICS G03C007-26; G03C007-32

NCL 430558000

CC 74-2 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)

IT 360051-04-3 360051-06-5 **360051-08-7** 360051-10-1
 360051-11-2 360051-12-3 360051-13-4 360051-15-6 360051-16-7
 360051-17-8 **360051-18-9** 360051-19-0 360051-21-4
 360051-23-6 360051-25-8 **360051-27-0 360051-28-1**
360051-30-5 360051-31-6 360051-32-7
 360051-33-8 360051-35-0 360051-37-2 **360053-23-2**

RL: DEV (Device component use); USES (Uses)

(photog. magenta coupler in photog. element having improved dye stability, compd., and imaging process)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:819353 HCAPLUS

DOCUMENT NUMBER: 132:64534

TITLE: Preparation of cyclic amino acid compounds for
inhibiting .beta.-amyloid peptide release and/or its
synthesis

INVENTOR(S): Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas
R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.;
Audia, James E.; Reel, Jon K.; Mabry, Thomas E.;
Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.;
Mcdaniel, Stacey L.; Stucky, Russell D.; Porter,
Warren J.

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company;
et al.

SOURCE: PCT Int. Appl., 714 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967221	A1	19991229	WO 1999-US14193	19990622
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2325389	AA	19991229	CA 1999-2325389	19990622
AU 9947101	A1	20000110	AU 1999-47101	19990622
EP 1089980	A1	20010411	EP 1999-930594	19990622
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002518483	T2	20020625	JP 2000-555875	19990622
PRIORITY APPLN. INFO.:			US 1998-102507	A2 19980622
			WO 1999-US14193	W 19990622

OTHER SOURCE(S): MARPAT 132:64534

AB Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHE2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, (S)-3-[[N-(2-thiophenecarbonyl)-L-alaninyl]amino] 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepd. via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-

benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control.

IC ICM C07D243-24
ICS A61K031-55; C07D223-18; C07D223-16; C07D409-12; C07D401-04;
C07D417-04; C07D409-04; C07D405-12; C07D243-14; C07D243-12;
C07D401-14; C07D401-12

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

IT 209985-30-8P 209986-95-8P 209990-32-9P 209994-06-9P 209994-34-3P
213025-91-3P 213025-92-4P 253151-95-4P 253322-42-8P 253322-43-9P
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253324-86-6P 253324-87-7P 253324-88-8P 253324-89-9P 253325-05-2P

EL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid
peptide release)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:590740 HCAPLUS

DOCUMENT NUMBER: 129:225747

TITLE: .alpha.-Aminosulfonyl hydroxamic acids as matrix
metalloproteinase inhibitors

INVENTOR(S): Warpehoski, Martha A.; Mitchell, Mark Allen; Jacobsen,
Eric Jon

PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA

SOURCE: U.S., 8 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5804593	A	19980908	US 1997-953940	19971020
PRIORITY APPLN. INFO.:			US 1997-953940	19971020
OTHER SOURCE(S): MARPAT 129:225747				

AB The present invention relates to therapeutically active
.alpha.-aminosulfonyl hydroxamic acids, to pharmaceutical compns. contg.
them, and to the method of using such compds. The compds. of the
invention are inhibitors of matrix metalloproteinases involved in tissue
degrdn., hence are useful for the treatment of osteoarthritis, rheumatoid
arthritis, septic arthritis, osteopenia, osteoporosis, tumor metastasis,
periodontitis, gingivitis, corneal ulceration, dermal ulceration, or
gastric ulceration. N-Hydroxy-2(R)-[(4-methoxybenzenesulfonyl)amino]-3-(3-
indolyl)-propanamide (I) was prepd. by 3 steps from reactants,
D-tryptophan Me ester hydrochloride, 4-methoxybenzenesulfonyl chloride,
and hydroxylamine hydrochloride. I was in vitro tested for inhibitory
activities in gelatinase, resulting in Ki (inhibition const.) value of
0.00781 M.

IC ICM A61K031-40

ICS A61K031-19; C07D209-12; C07D209-18

NCL 514419000

CC 1-12 (Pharmacology)

Section cross-reference(s): 25, 27

IT 193807-79-3P 206758-40-9P 206758-41-0P 206758-42-1P 206758-43-2P
206758-44-3P **206758-45-4P** 206758-46-5P 206758-47-6P
212698-20-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of .alpha.-aminosulfonyl hydroxamic acids as matrix
metalloproteinase inhibitors)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:487582 HCAPLUS

DOCUMENT NUMBER: 129:260761

TITLE: Structural modification of an orally active thrombin inhibitor, LB30057: replacement of the D-pocket-binding naphthyl moiety

AUTHOR(S): Lee, Koo; Hwang, Sang Yeul; Hong, Seongwon; Hong, Chang Yong; Lee, Chang-Seok; Shin, Youseung; Kim, Sangsoo; Yun, Mikyung; Yoo, Yung Joon; Kang, Myunggyun; Oh, Yeong Soo

CORPORATE SOURCE: Biotech Research Institute, LG Chemical Ltd/Research Park, Taejeon, 305-380, S. Korea

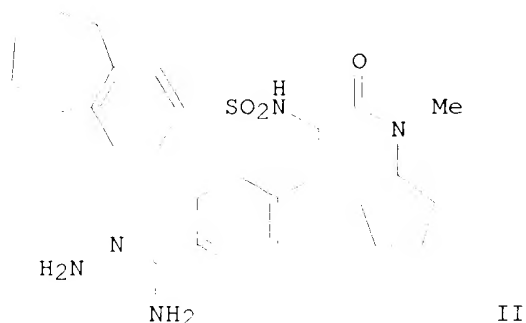
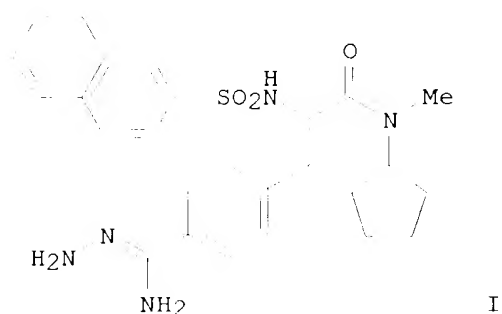
SOURCE: Bioorganic & Medicinal Chemistry (1998), 6(6), 869-876
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Amidrazonophenylalanine deriv. LB30057 (I) was identified as a potent ($K_i=0.38$ nM), selective, and orally active thrombin inhibitor. As a continuation of studies into benzamidrazone-based thrombin inhibitors, we have structurally modified I by replacing the naphthyl group with a variety of hydrophobic moieties. This study led to discovery of several compds. with significantly enhanced potency in thrombin inhibition without sacrificing selectivity against trypsin and oral absorption. The highest activity was obtained with benzocycloheptyl deriv. II ($K_i = 0.045$ nM).

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

IT	184771-00-4P	184771-01-5P	213179-08-9P	213179-09-0P	213179-10-3P
	213179-11-4P	213179-12-5P	213179-13-6P	213179-14-7P	213179-16-9P
	213179-18-1P	213179-19-2P	213179-21-6P	213179-24-9P	213179-26-1P

213179-28-3P 213179-30-7P 213179-32-9P 213179-34-1P 213179-36-3P
 213179-38-5P 213179-40-9P 213179-41-0P 213179-43-2P 213179-45-4P
 213179-47-6P 213179-48-7P **213179-49-8P** 213179-50-1P
 213179-51-2P 213179-52-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation);

(prepn. and thrombin inhibitory structure-activity of (amidrazono)phenylalanine derivs.)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:268487 HCAPLUS

DOCUMENT NUMBER: 128:321932

TITLE: Preparation of .alpha.-amino sulfonyl hydroxamic acids as matrix metalloproteinase inhibitors

INVENTOR(S): Warpehoski, Martha A.; Mitchell, Mark A.; Jacobsen, E. Jon

PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Warpehoski, Martha A.; Mitchell, Mark A.; Jacobsen, E. Jon

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

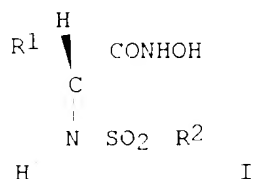
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817645	A1	19980430	WO 1997-US18235	19971020
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9748126	A1	19980515	AU 1997-48126	19971020
EP 934267	A1	19990811	EP 1997-910851	19971020
EP 934267	B1	20020130		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI			
JP 2001503400	T2	20010313	JP 1998-519424	19971020
AT 212619	E	20020215	AT 1997-910851	19971020
ES 2171905	T3	20020916	ES 1997-910851	19971020

PRIORITY APPLN. INFO.: US 1996-29585P P 19961022
 WO 1997-US18235 W 19971020

OTHER SOURCE(S): MARPAT 128:321932

GI



AB The title compds. I [R1 is iso-Pr, 2-methylbut-2-yl, Ph, benzyl, or 1H-indol-3-ylmethyl; R2 is n-octyl, Ph, or Ph substituted with methoxy, fluoro, or bromo] are prep'd. In an in vitro test for inhibition of gelatinase, N-hydroxy-2-(R)-[(benzenesulfonyl)amino]-3-methylbutanamide in vitro showed the Ki value of 0.082 .mu.M.

IC ICM C07D209-20

ICS A61K031-40; C07C311-29; C07C311-19

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

IT 193807-79-3P 206758-40-9P 206758-41-0P 206758-42-1P 206758-43-2P
206758-44-3P **206758-45-4P** 206758-46-5P 206758-47-6P
206758-48-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-amino sulfonyl hydroxamic acids as matrix metalloproteinase inhibitors)

L10 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:102857 HCAPLUS

DOCUMENT NUMBER: 128:167712

TITLE: Preparation of oxygenic heterocyclic derivatives of amino acid amides as cysteine protease inhibitors

INVENTOR(S): Ando, Ryoichi; Masuda, Hirokazu; Aritomo, Keiichi; Yoshii, Narihiko; Saito, Ken-Ichi

PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Ando, Ryoichi; Masuda, Hirokazu; Aritomo, Keiichi; Yoshii, Narihiko; Saito, Ken-Ichi

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

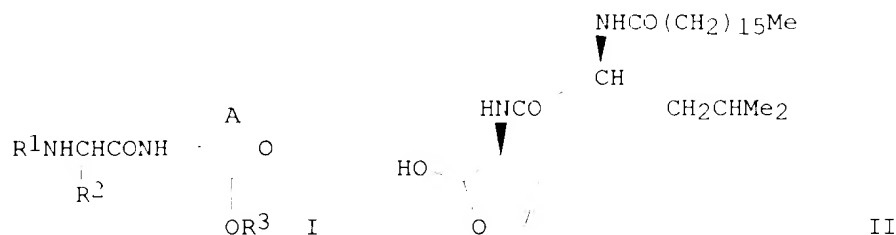
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804539	A1	19980205	WO 1997-JP2598	19970728
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			JP 1996-199037	19960729
OTHER SOURCE(S):		MARPAT 128:167712		
GI				



AB Oxygenic heterocyclic derivs. of general formula [I; R1 = R4CO, R4O2C, R4SO2 (R4 = straight-chain C11-20 alkyl); R2 = C1-10 alkyl optionally substituted by C6-14 aryl; R3 = H, R5CO (wherein R5 = C1-10 alkyl); A = C1-3 alkylene optionally substituted by C1-3 alkyl], salts thereof, and solvates or hydrates thereof are prepd. These compds. exhibit a potent inhibitory activity against cysteine proteases such as calpain, papain, cathepsin B, cathepsin H, cathepsin L, calpain, and interleukin 1.β-converting enzyme and are excellent in absorbability through oral administration, tissue transportability, and cell membrane permeability and are useful for the treatment of muscular dystrophy, muscular atrophy, myocardial infarction, stroke, Alzheimer's disease, disorders of cognition and motor disorders in head trauma, multiple sclerosis, neuropathy of peripheral nerve, cataract, allergy, hepatitis siderans, osteoporosis, hypercalcemia, breast cancer, prostate cancer, prostatomagal, inhibitors of cancer proliferation and metastasis, and blood platelet aggregation inhibitors. Thus, (3S)-3-[(S)-2-(tert-butoxycarbonylamino)-4-methylvaleryl-amino]-2-tetrahydrofuranone was stirred with 4 N HCl in EtOAc at room temp. for 45 min and then acylated by heptadecanoyl chloride in the presence of Et3N in CH2Cl2 at room temp. overnight to give (3S)-3-[(S)-2-(heptadecanoylamino)-4-methylvaleryl-amino]-2-tetrahydrofuranone, which was reduced by LiAlH4 in THF at -68.degree. for 1 h to give (3S)-[(N-heptadecanoyl-L-leuciny)amino]-2-tetrahydrofuranol (II; R = heptadecanoyl). The latter compd. and II (R = pentadecylsulfonyl) in vitro showed IC50 of 1.05 and 0.09 .μM, resp., against m-calpain.

IC ICM C07D305-08

ICS C07D307-22; C07D309-14; A61K031-335; A61K031-34; A61K031-35

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7

IT 201155-17-1P 201155-28-4P **201155-32-0P** 201155-39-7P
 201155-40-0P 201155-41-1P **201155-44-4P** 201155-51-3P
 201155-52-4P 201155-53-5P 201155-54-6P 201155-55-7P 201155-56-8P
201155-57-9P 201155-58-0P 201155-59-1P
201155-60-4P 201155-67-1P 202814-96-8P 202814-97-9P
 202814-98-0P 202815-01-8P

EL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxygenic heterocyclic derivs. of amino acid amides as cysteine protease inhibitors for treatment of diseases)

L10 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:513624 HCAPLUS

DOCUMENT NUMBER: 127:162119

TITLE: Preparation of N-sulfonylamino acid derivatives as metalloproteinase inhibitors

INVENTOR(S): Watanabe, Fumihiko; Tsuzuki, Hiroshige; Ohtani, Mitsuaki
 PATENT ASSIGNEE(S): Shionogi and vCo., Ltd., Japan; Watanabe, Fumihiko; Tsuzuki, Hiroshige; Ohtani, Mitsuaki
 SOURCE: PCT Int. Appl., 128 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727174	A1	19970731	WO 1997-JP126	19970122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242416	AA	19970731	CA 1997-2242416	19970122
AU 9713195	A1	19970820	AU 1997-13195	19970122
AU 715764	B2	20000210		
CN 1214041	A	19990414	CN 1997-193226	19970122
BR 9707010	A	19990720	BR 1997-7010	19970122
EP 950656	A1	19991020	EP 1997-900747	19970122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001316254	A2	20011113	JP 2001-69135	19970122
NO 9803376	A	19980914	NO 1998-3376	19980722
US 6150394	A	20001121	US 1998-120378	19980722
US 6207698	B1	20010327	US 1998-120197	19980722
US 6235768	B1	20010522	US 1999-307818	19990510
AU 738793	B2	20010927	AU 2000-30222	20000501
US 6441021	B1	20020827	US 2000-710904	20001114
PRIORITY APPLN. INFO.:			JP 1996-30082	A 19960123
			JP 1996-213555	A 19960813
			JP 1997-526728	A3 19970122
			WO 1997-JP126	W 19970122
			US 1998-120197	A3 19980722

OTHER SOURCE(S): MARPAT 127:162119
 GI

Ph

CH₂

N

Me CONH SO₂NHCHCO₂H
 N I

AB The title compds. R₅R₄R₃SO₂NE₂CH₂COY [R₁ = (un)substituted alkyl, aryl, aralkyl, heteroaryl, etc.; E₂ = H, (un)substituted alkyl, etc.; R₃ =

single bond, (un)substituted arylene, etc.; R4 = single bond, CH:CH, C.tplbond.C, CO, CONH, N:N, NHCONH, NHCO, O, S, SO2NH, etc.; R5 = (un)substituted alkyl, cycloalkyl, etc.; Y = NHOH, OH; a proviso is given] are prepd. The title compd. (R)-I in vitro showed IC50 of 3.95 .mu.M against MMP-9 (gelatinase B).

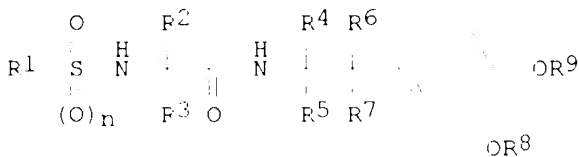
IC	ICM C07C311-00			
	ICS C07D209-42; C07D213-55; C07D235-24; C07D257-04; C07D277-56;			
	C07D277-82; C07D263-56; C07D307-91; C07D333-34; C07D333-62;			
	A61K031-40; A61K031-535; A61K031-42; A61K031-425; A61K031-415;			
	A61K031-44; A61K031-34; A61K031-38; A61K031-41			
CC	34-2 (Amino Acids, Peptides, and Proteins)			
	Section cross-reference(s): 1, 27, 28			
IT	56176-31-9P 130633-87-3P 177583-41-4P 188006-04-4P 188006-06-6P			
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	193810-08-1P 193810-09-2P 193810-10-5P 193810-11-6P 193810-12-7P			

193810-13-8P 193810-14-9P 193810-15-0P 193810-16-1P 193810-17-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of sulfonylamino acid derivs. as metalloproteinase inhibitors)

L10 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:374831 HCAPLUS
 DOCUMENT NUMBER: 126:343874
 TITLE: Preparation of N-sulfonyl- and N-sulfinylamino acid amides as microbiocides
 INVENTOR(S): Zeller, Martin
 PATENT ASSIGNEE(S): Novartis Ag, Switz.; Zeller, Martin
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714677	A1	19970424	WO 1996-EP4349	19961007
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KP, KR, MX, NO, NZ, PL, RO, RU, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9672868	A1	19970507	AU 1996-72868	19961007
AU 705463	B2	19990520		
EP 858448	A1	19980819	EP 1996-934563	19961007
EP 858448	B1	20000531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1200112	A	19981125	CN 1996-197681	19961007
BR 9611111	A	19990713	BR 1996-11111	19961007
AT 193524	E	20000615	AT 1996-934563	19961007
ES 2147935	T3	20001001	ES 1996-934563	19961007
JP 2000513323	T2	20001010	JP 1997-515475	19961007
RU 2171801	C2	20010810	RU 1998-109454	19961007
ZA 9608750	A	19970424	ZA 1996-8750	19961017
US 6194611	B1	20010227	US 1998-51688	19980416
PRIORITY APPLN. INFO.:			CH 1995-2957	A 19951018
			CH 1996-1716	A 19960709
			WO 1996-EP4349	W 19961007
OTHER SOURCE(S):		MARPAT 126:343874		
GI				



AB Title compds. I [n = 0, 1; R¹ = C1-12 alkyl (un)substituted by C1-4 alkoxy, C1-4 alkylthio, C1-4 alkylsulfonyl, C3-8 cycloalkyl, CN, C1-6 alkoxy carbonyl, C3-6 alkenyloxycarbonyl, C3-6 alkynylloxycarbonyl; C3-8

cycloalkyl, C2-12 alkenyl, C2-12 alkynyl; C1-12 haloalkyl, NR1R12; R11, R12 = independently H, C1-6 alkyl; R11R12 = (CH2)4, (CH2)5; R2, R3 = independently H, C1-8 alkyl (un)substituted by OH, C1-4 alkoxy, SH, C1-4 alkylthio, C3-8 alkenyl, C3-8 alkynyl, C3-8 cycloalkyl-C1-4 alkyl; CR2R3 = C3-8 ring; R4-R7 = independently H, C1-4 alkyl; R8 = C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl; R9 = C3-8 cycloalkyl; C1-6 alkyl, C3C6 alkenyl, or C3-6 alkynyl substituted by .gtoreq.1 halo atoms; (CR13R14)p(CR15R16)q-X; p = 0, 1; q = 0, 1; R13-R16 = independently H, C1-4 alkyl; X = H (wherein p = q = 0); Ph (un)substituted by halo, NO2, CN, CO2H, C2-6 alkenyl, C2-6 alkynyl, C1-6 haloalkyl, C3-6 alkenyloxy, C3-6 alkynyloxy, C3-7 cycloalkyl, C1-6 haloalkoxy, C1-6 alkylthio, C1-6 alkoxy, C1-6 alkoxy, C3-6 alkenyloxy, C3-6 alkynyloxy, C1-6 alkyl, C1-6 alkoxy; CN; CO2R17; COR18; CR19:CR20CO2R21; R17 = R21 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, and R18 = H; C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl or Ph (un)substituted by halo, NO2, CN, C1-4 alkyl] are valuable microbicides. They can be used in plant protection in the form of suitable compns., for example in the control of fungal diseases. Thus, treatment of EtSO2-L-Val-OH (prepn. given) with iso-Bu chloroformate and N-methylmorpholine in THF at -20.degree. to -10.degree. for 1 h, followed by addn. of H2NCH2CH2C6H3(OMe)OCH2Ph-3,4 and warming to room temp. over 4 h gave ethylsulfonylvaline amide EtSO2-L-Val-NHCH2CH2C6H3(OMe)OCH2Ph-3,4 (II). Over 130 related sulfonyl and sulfinyl amides were also prepd. II showed systemic action against Phytophthora on tomato plants by virtually completely (0-5% infestation) preventing infestation.

IC ICM C07C311-06

ICS C07C307-06; A01N041-06

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 5, 10

IT	189945-53-7P	189945-55-9P	189945-56-0P	189945-57-1P	
	189945-58-2P	189945-59-3P	189945-60-6P	189945-62-8P	189945-63-9P
	189945-65-1P	189945-67-3P	189945-68-4P	189945-69-5P	189945-71-9P
	189945-72-0P	189945-77-5P	189945-81-1P	189945-82-2P	189945-84-4P
	189945-86-6P	189945-87-7P	189945-88-8P	189945-89-9P	189945-90-3P
	189945-91-3P	189945-92-4P	189945-93-5P	189945-94-6P	189945-95-7P
	189945-96-8P	189945-97-9P	189945-98-0P	189946-16-5P	189946-17-6P
	189946-18-7P	189946-19-8P	189946-20-1P	189946-21-2P	189946-22-3P
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	189946-43-8P	189946-44-9P	189946-45-0P	189946-46-1P	189946-47-2P
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	189946-63-2P	189946-64-3P	189946-65-4P	189946-66-5P	189946-67-6P
	189946-68-7P	189946-69-8P	189946-70-1P	189946-71-2P	189946-72-3P
	189946-73-4P	189946-74-5P	189946-75-6P	189946-76-7P	189946-77-8P
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	189946-93-8P	189946-94-9P	189946-95-0P	189946-96-1P	189946-97-2P
	189946-98-3P	189946-99-4P	189947-00-0P	189947-01-1P	189947-02-2P
	189947-03-3P	189947-05-5P	189947-07-7P	189947-09-9P	189947-11-3P
	189947-12-4P	189947-13-5P	189947-14-6P	189947-15-7P	189947-16-8P
	189947-17-9P	189947-18-0P	189947-19-1P	189947-20-4P	189947-21-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonyl- and N-sulfinylamino acid amides as
microbiocides)

L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:171788 HCAPLUS

DOCUMENT NUMBER: 124:233137

TITLE: Preparation of N-sulfonyl and N-sulfinyl .alpha.-amino
acid amides as agrochemical microbiocides

INVENTOR(S): Zeller, Martin

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

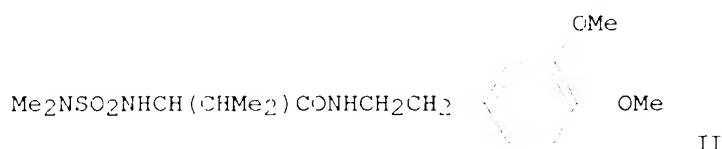
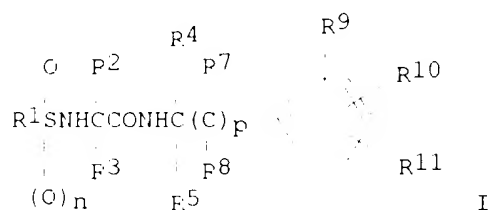
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530651	A1	19951116	WO 1995-EP1530	19950422
W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NZ, PL, RO, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2186510	AA	19951116	CA 1995-2186510	19950422
AU 9523458	A1	19951129	AU 1995-23458	19950422
AU 683382	B2	19971106		
EP 758317	A1	19970219	EP 1995-917357	19950422
EP 758317	B1	19990407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 75049	A2	19970328	HU 1996-3026	19950422
HU 216747	B	19990830		
CN 1147247	A	19970409	CN 1995-192920	19950422
CN 1064957	B	20010425		
BR 9507703	A	19970819	BR 1995-7703	19950422
JP 10504522	T2	19980506	JP 1995-528630	19950422
AT 178593	E	19990415	AT 1995-917357	19950422
ES 2132662	T3	19990816	ES 1995-917357	19950422
RU 2140411	C1	19991027	RU 1996-123116	19950422
SK 280607	B6	20000516	SK 1996-1419	19950422
PL 178747	B1	20000630	PL 1995-316660	19950422
CZ 289778	B6	20020417	CZ 1996-3198	19950422
US 5585519	A	19961217	US 1995-431230	19950428
ZA 9503542	A	19951106	ZA 1995-3542	19950503
US 5728875	A	19980317	US 1996-703300	19960826
PRIORITY APPLN. INFO.:			CH 1994-1407	A 19940504
			CH 1995-584	A 19950301
			WO 1995-EP1530	W 19950422
			US 1995-431230	A3 19950428

OTHER SOURCE(S): MARPAT 124:233137

GI



AB The title compds. [I; n = 0, 1; R1 = (un)substituted alkyl, halogenoalkyl, (un)substituted NH2, etc.; R2, R3 = H, (un)substituted alkyl; R4 = H, alkyl; R5 = H, alkyl, (un)substituted Ph; R6, R7 = H, alkyl; R9-R11 = H, alkyl, NO2, alkenyl, halogen, etc.; p = 0, 1], useful as agrochem. fungicides and microbiocides, are prepd. and I-contg. formulations presented. Thus, (S)-2-amino-3-methylbutyric acid N-[2-(3,4-dimethoxyphenyl)ethyl]amide was condensed with N,N-dimethylsulfamoyl chloride, producing microbiocidal amide II, m.p. 97-99.degree..

IC ICM C07C311-06

ICS C07C307-06; C07C313-20; C07C311-14; C07C311-07; C07C323-60;
C07C311-11; C07C317-04; C07D295-22; A01N041-06

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 5, 25

IT	86311-76-4P	97482-31-0P	174601-18-4P	174601-20-8P	174601-21-9P
	174601-22-0P	174601-23-1P	174601-24-2P	174601-25-3P	174601-26-4P
	174601-27-5P	174601-28-6P	174601-29-7P	174601-30-0P	174601-31-1P
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	174601-37-7P	174601-38-8P	174601-39-9P	174601-40-2P	174601-41-3P
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	174602-02-9P	174602-03-0P	174602-04-1P	174602-05-2P	174602-06-3P
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 174691-58-8P 174691-59-9P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonyl and N-sulfinyl .alpha.-amino acid amides as agrochem. microbiocides)

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:408477 HCAPLUS

DOCUMENT NUMBER: 117:8477

TITLE: Preparation of derivatives of aspartic acid and glutamic acid having anti-cholecystokinin activity
 INVENTOR(S): Broughton, Howard Barff; Kalindjian, Sarkis Barret; Low, Caroline Minli Rachel; McDonald, Iain Mair; Hull, Robert Anthony David; Shankley, Nigel Paul

PATENT ASSIGNEE(S): Black, James, Foundation Ltd., UK

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD

DOCUMENT TYPE: Patent

LANGUAGE: English

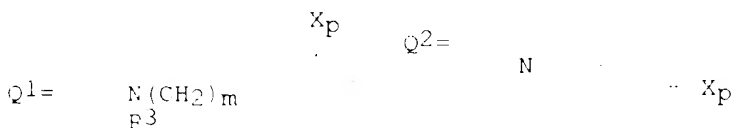
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9200958	A1	19920123	WO 1991-GB1111	19910708
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2087193	AA	19920113	CA 1991-2087193	19910708
AU 9182060	A1	19920204	AU 1991-82060	19910708
EP 552158	A1	19930728	EP 1991-912752	19910708
EP 552158	B1	19941012		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05508646	T2	19931202	JP 1991-512030	19910708
ZA 9105367	A	19930331	ZA 1991-5367	19910710
NO 9300045	A	19930107	NO 1993-46	19930107
US 5399748	A	19950321	US 1993-961722	19930112
PRIORITY APPLN. INFO.:			GB 1990-15360	19900712
			GB 1990-27283	19901217
			WO 1991-GB1111	19910708

OTHER SOURCE(S): MARPAT 117:8477

GI



AB ArSO₂(R₁)NC(R₂)[(CH₂)_nT]COQ [I; Ar = (substituted) 2-naphthyl, 2-naphthylmethyl, 1,2,3,4-tetrahydro-2-naphthyl, PhCH₂CH₂, cinnamyl, 1- or 2-indanyl, 3,4-dichlorophenyl; R₁ = H, (cyclo)alkyl, heterocyclalkyl, (substituted) arylalkyl; R₂ = H, Me, Et; T = CO₂H, carbamoyl, tetrazolyl; n = 0-3; Q = Q₁, Q₂; X = alkyl, (thio)alkoxy, CO₂H, carboalkoxy, NO₂, trihalomethyl, OH, amino, arylalkyl, alkylaryl, halo; m = 1-3; p = 0-3; R₃ = H, alkyl, (CH₂)_rR₄; r = 0-4; R₄ = (substituted)aryl], were prepd. Thus, PhCH₂CH₂NH₂ was condensed with BOC-Asp(Bz)-OH using DCC in CH₂Cl₂ at -10.degree. to room temp to give 91% amide. The product was deprotected with CF₃CO₂H (93%) followed by acylation with 2-naphthalenesulfonyl chloride (80%) and hydrogenolysis (92%) to give 2-naphthalenesulfonylaspartic acid 2-phenylethylamide. I antagonized CCK-8 in guinea pig gall bladder strips with pK_B = 5.40-6.98. I were inactive in gastrin assays.

IC ICM C07C311-19
ICS A51K031-195; C07C311-13; C07C311-37; C07D217-06; C07D307-66;
A51K031-47

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

IT 141577-40-4P 141577-41-5P 141577-42-6P 141577-43-7P 141577-44-8P
141577-45-9P 141577-46-0P 141577-47-1P 141577-48-2P 141577-49-3P
141577-50-6P 141577-51-7P 141577-52-8P 141577-53-9P
141577-54-0P 141577-55-1P 141577-56-2P 141577-57-3P 141577-58-4P
141596-45-4P 141596-46-5P 141596-47-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokin antagonist)

L10 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:214912 HCAPLUS
DOCUMENT NUMBER: 116:214912
TITLE: Preparation of (peptidyl)alkanediamines as inhibitors of retroviral proteases
INVENTOR(S): Budt, Karl Heinz; Stowasser, Bernd; Knolle, Jochen; Ruppert, Dieter; Meichsner, Christoph; Paessens, Arnold; Hansen, Jutta
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 69 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4030350	A1	19910411	DE 1990-4030350	19900926
EP 428849	A2	19910529	EP 1990-118377	19900925
EP 428849	A3	19910731		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 298109	A5	19920206	DD 1990-344231	19900926
RU 2047621	C1	19951110	RU 1990-4831099	19900926
CA 2026382	AA	19910329	CA 1990-2026382	19900927
NO 9004208	A	19910402	NO 1990-4208	19900927
CN 1050545	A	19910410	CN 1990-108045	19900927
CN 1052240	B	20000510		
AU 9063221	A1	19910411	AU 1990-63221	19900927
AU 627937	B2	19920903		
JP 03120245	A2	19910522	JP 1990-255445	19900927

JP 2521841	B2	19960807		
ZA 9007727	A	19910626	ZA 1990-7727	19900927
HU 55797	A2	19910628	HU 1990-6244	19900927
BR 9004852	A	19910910	BR 1990-4852	19900927
RU 2028155	C1	19950209	RU 1991-4894683	19910811
AU 9344468	A1	19931021	AU 1993-44468	19930805
AU 663207	B2	19950928		
US 5712417	A	19980127	US 1994-272760	19940711
CN 1253019	A	20000517	CN 1999-118641	19990910

PRIORITY APPLN. INFO.:

DE 1989-3932390	A1	19890928
DE 1990-4013149	A1	19900425
US 1990-588206	B1	19900926
US 1992-845823	B1	19920306
US 1992-984252	B1	19921201

OTHER SOURCE(S): MARPAT 116:214912

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R2, R7 = H, CO2H, alkyl, alkoxy, HS, etc.; R3, R8 = H, C1-3-alkyl; R4, R9 = C1-8-alkyl; R5, R10 = H, OH, NH2, CO2H; R6, R11 = H, C1-6-alkyl; A, B = acyl, acylpeptidyl, acylaminoacyl; Y = O, S, (substituted) methylene, (substituted) imino; l, m = 0, 1], useful for treatment of AIDS, were prepd. N,N'-bis(1-valyl)-2S,5S-diamino-1,6-diphenylhexane-3R,4R-diol-2HCl (prepn. given) was reacted with BOC-Phe-OH in DMF contg. N-ethylmorpholine, HOBt, and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide at 0.degree. for 1 h to give, after extrn. with EtOAc and treatment with aq. NaHCO3 and aq. KHSO4, N,N'-bis(tert-butoxycarbonyl-L-phenylalanyl-L-valyl)-2S,5S-diamino-1,6-diphenyl-hexane-3R,4R-diol. This had an IC50 of 10 nM against HIV protease.

IC ICM C07K005-06

ICS C07K005-08; A61K037-64; C12N009-64; C07C271-16; C07C271-20; C07C317-28; C07C317-10; C07F007-18; C07C237-10

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 17, 23

IT 98642-15-0P	129491-63-0P	129491-64-1P	129491-65-2P	129758-92-5P
134805-73-5P	134805-81-5P	134807-56-0P	137755-20-5P	137755-21-6P
137755-22-7P	137755-23-8P	137755-24-9P	137755-25-0P	137755-26-1P
137755-27-0P	137755-28-3P	137755-29-4P	137755-30-7P	137755-31-8P
137755-32-9P	137755-33-0P	137755-34-1P	137755-35-2P	137755-36-3P
137755-37-4P	137755-38-5P	137755-39-6P	137755-40-9P	137755-41-0P
137755-42-1P	137755-43-2P	137755-44-3P	137755-45-4P	137755-46-5P
137755-47-6P	137755-48-7P	137755-49-8P	137755-50-1P	137755-51-2P
137755-52-3P	137779-25-0P	137807-75-1P	137807-76-2P	137807-77-3P
137807-78-4P	137807-79-5P	137807-80-8P	137807-81-9P	137807-82-0P
137807-83-1P	137807-84-2P	137807-85-3P	137807-86-4P	137807-87-5P
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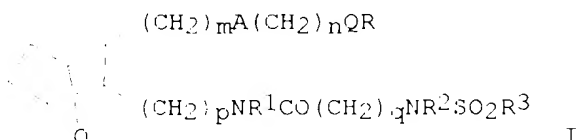
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as retroviral protease inhibitor)

L10 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:156145 HCAPLUS
 DOCUMENT NUMBER: 106:156145
 TITLE: 7-oxabicycloheptane substituted amide-sulfonamide
 prostaglandin analogs useful in the treatment of
 thrombotic disease
 INVENTOR(S): Nakane, Masami
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc., USA
 SOURCE: U.S., 24 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4632931	A	19861230	US 1985-780127	19850925
PRIORITY APPLN. INFO.:			US 1985-780127	19850925
OTHER SOURCE(S):		CASREACT 106:156145		

GI



AB Title compds. I (m = 0-4; A = CH:CH, CH2CH2; n = 1-5; Q = CH:CH, CH2, CH(OH), mono- or dihalomethylene, bond; R = CO2H, CH2OH, tetrazolyl, etc.; p = 1-4; R1, R2 = H, alkyl; q = 1-12; R3 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl) cardiovascular agents, useful for treatment of thrombotic disease, were prepd. I are useful as platelet aggregation inhibitors, bronchoconstriction inhibition, and for treatment of circulating disorder (no data) in a pharmaceutical form (no data). Thus, Me [1S-[1.beta.,2.alpha.(5Z),3.alpha.,4.beta.]]-7-[3-(hydroxymethyl)-7-oxabicyclo[2.2.1]hept-2-yl]-5-heptenoate was tosylated, the tosylate converted to the phthalimide deriv. which was hydrolyzed to give the heptenoate Me ester, which was coupled with N-(pentylsulfonyl)glycine in presence of carbonyl diimidazole to give [1S-[1.beta.,2.alpha.(5Z),3.alpha.,4.beta.]]-I (m = p = 1, A = CH:CH, n = 2, Q = CH2, R = CO2Me, q = 1, R1

= R2 = H, R3 = pentyl).

IC ICM A61K031-39
ICS C07D307-00

NCL 514382000

CC 26-3 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1

IT 107490-98-2P 107490-99-3P 107491-01-0P 107491-02-1P 107491-04-3P
107491-05-4P 107491-06-5P 107491-07-6P 107491-10-1P 107491-11-2P
107491-12-3P 107491-13-4P 107491-15-6P 107491-16-7P 107491-18-9P
107491-19-0P **107491-20-3P 107491-21-4P** 107491-23-6P
107491-24-7P 107491-25-8P 107491-26-9P 107504-46-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of thrombotic diseases)

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L11 ANSWER 1 OF 1 HCAOLD COPYRIGHT 2003 ACS
AN CA55:189d CAOLD
TI color couplers
AU Greenhalgh, Colin W.
TI color couplers (photographic)
PA Imperial Chemical Industries Ltd.
DT Patent

	PATENT NO.	KIND	DATE
PI	GB 830797		
	DE 1115129		
	US 3133815		1964
IT	7336-96-1	17852-80-1	21478-11-5 96771-93-6 97829-21-5 101281-37-2
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